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Patentanmeldung Nr. | Patent application No. | Demande de brevet n°

02027062.5

Der Präsident des Europäischen Patentamts;
Im Auftrag

For the President of the European Patent Office

Le Président de l'Office européen des brevets
p.o.

R C van Dijk

Anmeldung Nr:
Application no.: 02027062.5
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Anmelder/Applicant(s)/Demandeur(s):

Bayer CropScience S.A.
55, avenue René Cassin
69009 Lyon
FRANCE

Bezeichnung der Erfindung/Title of the invention/Titre de l'invention:
(Falls die Bezeichnung der Erfindung nicht angegeben ist, siehe Beschreibung.
If no title is shown please refer to the description.
Si aucun titre n'est indiqué se referer à la description.)

Pesticidal compounds

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Description

Pesticidal Compounds

The invention relates to novel 5-substituted-acylaminopyrazole derivatives, processes for their preparation, to compositions thereof, and to their use for the control of pests (including insects and parasites) and helminths (including nematodes).

The control of insects, nematodes or helminths with 1-arylpyrazole compounds has been described in, for example, patent publication numbers WO 93/06089, WO 94/21606, WO 87/03781, EP 0295117, EP 659745, EP 679650, EP 201852 and U.S. 5,232,940. The control of parasites in animals with 1-arylpyrazole compounds has also been described in patent publication numbers WO 00/35884, EP 0846686, WO 98/24769 and WO 97/28126.

However, the level of action and/or duration of action of these prior-art compounds is not entirely satisfactory in all fields of application, in particular against certain organisms or when low concentrations are applied.

Since modern pesticides must meet a wide range of demands, for example regarding level, duration and spectrum of action, use spectrum, toxicity, combination with other active substances, combination with formulation auxiliaries or synthesis, and since the occurrence of resistances is possible, the development of such substances can never be regarded as concluded, and there is constantly a high demand for novel compounds which are advantageous over the known compounds, at least as far as some aspects are concerned.

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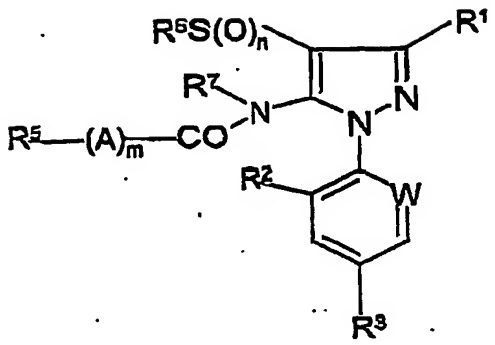


(I)

wherein:

R^1 is $(C_1$-$C_6)$-haloalkyl, CN, NO_2 or halogen;

W is N or C-R^4;

R^2 is H, halogen or CH_3;

R^3 is $(C_1$-$C_3)$-haloalkyl, $(C_1$-$C_3)$-haloalkoxy or $S(O)_p$-$(C_1$-$C_3)$-haloalkyl;

R^4 is halogen or CH_3;

A is $(C_2$-$C_6)$-alkylene or $(C_2$-$C_6)$-haloalkylene;

or is $(C_3$-$C_8)$-alkylene in which a carbon in the chain is replaced by O, S, SO, SO_2 or NR^8 with the proviso that the replacing group is not bonded to the adjacent R^5 or carbonyl group; or is

$(C_2$-$C_6)$-alkenylene or $(C_2$-$C_6)$-haloalkenylene; or is

$-[(C_1$-$C_3)$-alkyl$]_r$-aryl-$[(C_1$-$C_3)$-alkyl$]_s$-, or $-[(C_1$-$C_3)$-alkyl$]_r$-heterocyclyl-$[(C_1$-$C_3)$-alkyl$]_s$-, or $-[(C_1$-$C_3)$-alkyl$]_r$-$(C_3$-$C_6)$-cycloalkyl-$[(C_1$-$C_3)$-alkyl$]_s$- or $-[(C_1$-$C_3)$-alkyl$]_r$-$(C_5$-$C_6)$-cycloalkenyl-$[(C_1$-$C_3)$-alkyl$]_s$-, in which last four mentioned groups the aryl, heterocyclyl, cycloalkyl and cycloalkenyl are unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, $(C_1$-$C_6)$-alkyl, $(C_1$-$C_6)$-haloalkyl, $(C_1$-$C_6)$-alkoxy, $(C_1$-$C_6)$-haloalkoxy, OR^{11}, CN, NO_2, $S(O)_pR^{10}$, COR^{10}, $COOR^{10}$, $CONR^9R^{10}$, $SO_2NR^9R^{10}$, NR^9R^{10}, OH, SO_3H and $(C_1$-$C_6)$-alkylideneimino;

R^5 is $CONR^9R^{10}$ or CO_2R^{10} when m is 0 or 1; or R^5 is NR^9R^{17} when m is 1;

R^6 is $(C_1$-$C_3)$-alkyl or $(C_1$-$C_3)$-haloalkyl;

R^7 is H, $(C_2$-$C_6)$-alkenyl, $(C_2$-$C_6)$-haloalkenyl, $(C_2$-$C_6)$-alkynyl, $(C_2$-$C_6)$-haloalkynyl, $(C_3$-$C_7)$-cycloalkyl, COR^{11}, COR^{12}, COR^{13}, $-CO_2$-$(C_1$-$C_8)$-alkyl, $-CO_2$-$(CH_2)_qR^{11}$, $-CO_2$-$(CH_2)_qR^{13}$, $-CO_2$-$(C_3$-$C_7)$-cycloalkyl, $-CO_2$-$(C_1$-$C_8)$-alkyl-$(C_3$-$C_7)$-cycloalkyl,

CO-(C_2-C_8)-alkenyl, -CH_2R^{11} or CH_2R^{13}; or (C_1-C_6)-alkyl unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C_1-C_8)-alkoxy, (C_1-C_8)-haloalkoxy, (C_3-C_7)-cycloalkyl, $S(O)_pR^{14}$, CO_2-(C_1-C_6)-alkyl, -O(C=O)-(C_1-C_8)-alkyl, NR^9R^{10}, $CONR^9R^{10}$, $SO_2NR^9R^{10}$, OH, CN, NO_2, OR^{11}, OR^{13}, $NR^{10}COR^9$, $NR^{10}SO_2R^{14}$ and COR^{12};

R^8 is R^9, CO-R^9, CO-R^{11}, CO_2R^{12} or CO-(C_1-C_6)-alkyl substituted by amino;

R^9 is H, (C_1-C_8)-alkyl, (C_1-C_8)-haloalkyl, (C_2-C_6)-alkenyl, (C_2-C_8)-haloalkenyl, (C_2-C_8)-alkynyl, (C_2-C_8)-haloalkynyl, (C_3-C_7)-cycloalkyl or -(C_1-C_8)-alkyl-(C_3-C_7)-cycloalkyl;

R^{10} is R^9, $-[(C_1$-$C_6)$-alkyl$]_q$-R^{11}, (C_1-C_3)-alkoxy-(C_1-C_3)-alkyl- or (C_1-C_3)-alkoxy-(C_1-C_3)-alkoxy-(C_1-C_3)-alkyl-; or

R^9 and R^{10} or R^9 and R^{17} each together with the respective attached N atom form a four- to seven-membered saturated ring which optionally contains an additional hetero atom in the ring which is selected from O, S and N, the ring being unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C_1-C_8)-alkyl, (C_1-C_8)-haloalkyl and CO_2-(C_1-C_8)-alkyl;

R^{11} is phenyl unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C_1-C_6)-alkyl, (C_1-C_6)-haloalkyl, (C_1-C_8)-alkoxy, (C_1-C_6)-haloalkoxy, OR^{16}, CN, NO_2, $S(O)_pR^{12}$, COR^9, COOH, $COOR^{12}$, $CONR^9R^{15}$, $SO_2NR^9R^{15}$, NR^9R^{15}, OH, SO_3H and (C_1-C_6)-alkylideneimino;

R^{12} is (C_1-C_8)-alkyl or (C_1-C_8)-haloalkyl;

R^{13} is heterocyclyl unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C_1-C_4)-alkyl, (C_1-C_4)-haloalkyl, (C_1-C_4)-alkoxy, $S(O)_pR^{12}$, OH and oxo;

R^{14} is (C_1-C_6)-alkyl, (C_1-C_6)-haloalkyl, (C_3-C_7)-cycloalkyl or -(C_1-C_8)-alkyl-(C_3-C_7)-cycloalkyl;

R^{15} is H, (C_1-C_8)-alkyl, (C_1-C_8)-haloalkyl, (C_3-C_7)-cycloalkyl or -(C_1-C_8)-alkyl-(C_3-C_7)-cycloalkyl;

R^{16} is phenyl unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C_1-C_6)-alkyl, (C_1-C_6)-haloalkyl, (C_1-C_6)-alkoxy, (C_1-C_6)-haloalkoxy, CN, NO_2, $S(O)_pR^{12}$, COR^{15}, COOH, $COOR^{12}$, $CONR^9R^{15}$, $SO_2NR^9R^{15}$, NR^9R^{15} and OH;

R^{17} is R^{10}, $CO_2(C_1-C_6)$-alkyl, $CO_2CH_2R^{18}$ or $CO(C_1-C_6)$-alkyl;
R^{18} is phenyl unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C_1-C_6)-alkyl, (C_1-C_6)-haloalkyl and (C_1-C_6)-alkoxy;
n and p are each independently 0, 1 or 2;
m and q are each independently 0 or 1;
r and s are each independently 0 or 1; and
each heterocyclyl in the above-mentioned radicals is independently a heterocyclic radical having 3 to 7 ring atoms and 1, 2 or 3 hetero atoms in the ring selected from the group consisting of N, O and S;
or a pesticidally acceptable salt thereof.
These compounds possess valuable pesticidal properties.

The invention also encompasses any stereoisomer, enantiomer or geometric isomer, and mixtures thereof.
By the term "pesticidally acceptable salts" is meant salts the anions or cations of which are known and accepted in the art for the formation of salts for pesticidal use. Suitable salts with bases, e.g. formed by compounds of formula (I) containing a carboxylic acid group, include alkali metal (e.g. sodium and potassium), alkaline earth metal (e.g. calcium and magnesium), ammonium and amine (e.g. diethanolamine, triethanolamine, octylamine, morpholine and dioctylmethylamine) salts. Suitable acid addition salts, e.g. formed by compounds of formula (I) containing an amino group, include salts with inorganic acids, for example hydrochlorides, sulphates, phosphates and nitrates and salts with organic acids for example acetic acid.

It is to be understood that the above mentioned proviso is included only for reasons of the chemical instability of the particular excluded moieties, and not for reasons of prior art.

In the present patent specification, including the accompanying claims, the aforementioned substituents have the following meanings:
Halogen atom means fluorine, chlorine, bromine or iodine.

The term "halo" before the name of a radical means that this radical is partially or completely halogenated, that is to say, substituted by F, Cl, Br, or I, in any combination, preferably by F or Cl.

Alkyl groups and portions thereof (unless otherwise defined) may be straight- or branched-chain.

The expression "(C_1-C_6)-alkyl" is to be understood as meaning an unbranched or branched hydrocarbon radical having 1, 2, 3, 4, 5 or 6 carbon atoms, such as, for example a methyl, ethyl, propyl, isopropyl, 1-butyl, 2-butyl, 2-methylpropyl or tert-butyl radical.

Alkyl radicals and also in composite groups, unless otherwise defined, preferably have 1 to 4 carbon atoms.

"(C_1-C_6)Haloalkyl" means an alkyl group mentioned under the expression "(C_1-C_6)alkyl" in which one or more hydrogen atoms are replaced by the same number of identical or different halogen atoms, such as monohaloalkyl, perhaloalkyl, CF_3, CHF_2, CH_2F, $CHFCH_3$, CF_3CH_2, CF_3CF_2, CHF_2CF_2, CH_2FCHCl, CH_2Cl, CCl_3, $CHCl_2$ or CH_2CH_2Cl.

The expression "(C_1-C_6)-alkylene" is to be understood as meaning an unbranched or branched saturated carbon chain having from 1 to 6 carbon atoms.

The expression "(C_1-C_6)-haloalkylene" is to be understood as meaning an unbranched or branched saturated carbon chain having from 1 to 6 carbon atoms, in which one or more hydrogen atoms are replaced by the same number of identical or different halogen atoms.

The expression "(C_2-C_6)-alkenylene" is to be understood as meaning an unbranched or branched saturated carbon chain having from 2 to 6 carbon atoms, and which contains at least one double bond which can be located in any position of the respective unsaturated radical.

"(C_1-C_6)Alkoxy" means an alkoxy group whose carbon chain has the meaning given under the expression "(C_1-C_6)alkyl". "Haloalkoxy" is, for example, OCF_3, $OCHF_2$, OCH_2F, CF_3CF_2O, OCH_2CF_3 or OCH_2CH_2Cl.

"(C_2-C_6)Alkenyl" means an unbranched or branched non-cyclic carbon chain having a number of carbon atoms which corresponds to this stated range and which contains at least one double bond which can be located in any position of the

respective unsaturated radical. "(C_2-C_8)Alkenyl" accordingly denotes, for example, the vinyl, allyl, 2-methyl-2-propenyl, 2-butenyl, pentenyl, 2-methylpentenyl or the hexenyl group.

"(C_2-C_6)Alkynyl" means an unbranched or branched non-cyclic carbon chain having a number of carbon atoms which corresponds to this stated range and which contains one triple bond which can be located in any position of the respective unsaturated radical. "(C_2-C_8)Alkynyl" accordingly denotes, for example, the propargyl, 1-methyl-2-propynyl, 2-butynyl or 3-butynyl group.

Cycloalkyl and cycloalkenyl groups are understood to also include bridged structures such as norbornane and norbornene, preferably have from three to seven carbon atoms in the ring and are optionally substituted by halogen or alkyl.

In compounds of formula (I) the following examples of radicals are provided:

An example of alkyl substituted by cycloalkyl is cyclopropylmethyl;

an example of alkyl substituted by alkoxy is methoxymethyl (CH_3OCH_2-); and

an example of alkyl substituted by alkylthio is methylthiomethyl (CH_3SCH_2-).

Aryl is a mono- or bicylic aromatic system, for example phenyl, naphthyl, tetrahydronaphthyl, indenyl, indanyl and the like, preferably phenyl.

A "heterocyclyl" group can be saturated, unsaturated or heteroaromatic; it preferably contains one or more, in particular 1, 2 or 3, hetero atoms in the heterocyclic ring, preferably selected from the group consisting of N, O and S; it is preferably an aliphatic heterocyclyl radical having 3 to 7 ring atoms or a heteroaromatic radical having 5 or 6 ring atoms. The heterocyclic radical can be, for example, a heteroaromatic radical or ring (heteroaryl) such as, for example, a mono-, bi- or polycyclic aromatic system in which at least 1 ring contains one or more hetero atoms, for example pyridyl, pyrimidinyl, pyridazinyl, pyrazinyl, triazinyl, thienyl, thiazolyl, thiadiazolyl, oxazolyl, isoxazolyl, furyl, pyrrolyl, pyrazolyl, imidazolyl and triazolyl, or it is a partially or fully hydrogenated radical such as oxiranyl, oxetanyl, oxolanyl (= tetrahydrofuryl), oxanyl, pyrrolidyl, piperidyl, piperazinyl, dioxolanyl, oxazolinyl, isoxazolinyl, oxazolidinyl, isoxazolidinyl and morpholinyl. The "heterocyclyl" group may be unsubstituted or substituted by one or more radicals (preferably 1, 2 or 3 radicals) selected from the group consisting of halogen, alkoxy, haloalkoxy, alkylthio, hydroxyl, amino, nitro, carboxyl, cyano, alkoxycarbonyl,

alkylcarbonyl, formyl, carbamoyl, mono- and dialkylaminocarbonyl, substituted amino such as acylamino, mono- and dialkylamino, and alkylsulfinyl, haloalkylsulfinyl, alkylsulfonyl, haloalkylsulfonyl, alkyl and haloalkyl, and additionally also oxo. The oxo group can also be present at those hetero ring atoms where various oxidation numbers are possible, for example in the case of N and S.

The term pests means arthropod pests (including insects and parasites), and helminths (including nematodes).

Preferably R^1 is CN.
Preferably R^2 is Cl.
Preferably R^3 is CF_3.
Preferably W is C-R^4 and R^4 is Cl.
Preferably aryl is defined in A as phenyl.
Preferably heterocyclyl is a heteroaromatic radical having 5 or 6 ring atoms and 1, 2 or 3 hetero atoms in the ring selected from the group consisting of N, O and S, and is unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C_1-C_4)-alkyl, (C_1-C_4)-haloalkyl, (C_1-C_4)-alkoxy and $S(O)_pR^{12}$.
Preferably A is (C_1-C_8)-alkylene; or is (C_1-C_8)-alkylene in which a carbon in the chain is replaced by O, S, SO, SO_2 or NR^8 with the proviso that the replacing group is not bonded to the adjacent R^5 or carbonyl group; or is phenyl unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C_1-C_4)-alkyl, (C_1-C_4)-haloalkyl, (C_1-C_4)-alkoxy, CN and NO_2; or is pyridyl unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C_1-C_4)-alkyl, (C_1-C_4)-haloalkyl and (C_1-C_4)-alkoxy.
Preferably R^5 is $CONR^9R^{10}$ or CO_2R^{10} when m is 0 or 1; or R^5 is NR^9R^{17} when m is 1.
Preferably R^6 is (C_1-C_2)-alkyl or (C_1-C_2)-haloalkyl (more preferably R^6 is CF_3).
Preferably R^7 is H or (C_1-C_2)-alkyl.
The following values are preferred in the above definitions:
R^8 is R^9, CO-R^9 or CO-R^{11}; wherein R^9 and R^{10} arte each independently H or (C_1-C_8)-alkyl;

R^{11} is phenyl unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C_1-C_4)-alkyl, (C_1-C_4)-haloalkyl, (C_1-C_4)-alkoxy, CN, NO_2, $S(O)_pR^{12}$ and NR^9R^{15};
R^{12} is (C_1-C_2)-alkyl or (C_1-C_3)-haloalkyl; and R^{15} is H, (C_1-C_2)-alkyl or (C_1-C_2)-haloalkyl;
R^{17} is R^{10}, $CO_2(C_1-C_2)$-alkyl, $CO_2CH_2R^{18}$ or $CO(C_1-C_2)$-alkyl; and
R^{18} is phenyl unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C_1-C_2)-alkyl, (C_1-C_2)-haloalkyl and (C_1-C_2)-alkoxy.

A preferred class of compounds of formula (I) are those in which:
R^1 is CN;
R^2 is Cl;
R^3 is CF_3;
W is CR^4 and R^4 is Cl;
A is (C_1-C_6)-alkylene; or is (C_1-C_6)-alkylene in which a carbon in the chain is replaced by O, S, SO, SO_2 or NR^8 with the proviso that the replacing group is not bonded to the adjacent R^5 or carbonyl group; or is phenyl unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C_1-C_2)-alkyl, (C_1-C_2)-haloalkyl, (C_1-C_2)-alkoxy, CN and NO_2; or is pyridyl unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C_1-C_2)-alkyl, (C_1-C_2)-haloalkyl and (C_1-C_2)-alkoxy;
R^5 is $CONR^9R^{10}$ or CO_2R^{10} when m is 0 or 1; or R^5 is NR^9R^{17} when m is 1;
R^6 is (C_1-C_2)-alkyl or (C_1-C_2)-haloalkyl;
R^7 is hydrogen or (C_1-C_2)-alkyl;
R^8 is R^9, CO-R^9 or CO-R^{11};
R^9 is H or (C_1-C_6)-alkyl;
R^{10} is H, (C_1-C_6)-alkyl, (C_1-C_6)-haloalkyl, (C_2-C_6)-alkenyl, (C_2-C_6)-haloalkenyl, (C_2-C_6)-alkynyl, (C_2-C_6)-haloalkynyl, (C_3-C_7)-cycloalkyl, -(C_1-C_6)-alkyl-(C_3-C_7)-cycloalkyl or -$(CH_2)_qR^{11}$; or
R^9 and R^{10} together with the attached N atom form a five- or six-membered saturated ring which optionally contains an additional hetero atom in the ring which is selected

from O, S and N, the ring being unsubstituted or substituted by one or more radicals selected from the group consisting of halogen and (C_1-C_2)-alkyl;

R^{11} is phenyl unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C_1-C_2)-alkyl, (C_1-C_2)-haloalkyl, (C_1-C_2)-alkoxy, CN, NO_2, $S(O)_pR^{12}$ and NR^8R^{15};

R^{12} is (C_1-C_2)-alkyl or (C_1-C_2)-haloalkyl;

R^{15} is H, (C_1-C_2)-alkyl or (C_1-C_2)-haloalkyl;

R^{17} is R^{10}, $CO_2(C_1-C_2)$-alkyl, $CO_2CH_2R^{18}$ or $CO(C_1-C_2)$-alkyl; and

R^{18} is phenyl unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C_1-C_2)-alkyl, (C_1-C_2)-haloalkyl and (C_1-C_2)-alkoxy.

A more preferred class of compounds of formula (I) are those in which:

R^1 is CN;

R^2 is Cl;

R^3 is CF_3;

W is CR^4 and R^4 is Cl;

i) m is 0; R^5 is $CONH(C_1-C_2)$-alkyl, $CON[(C_1-C_2)\text{-alkyl}]_2$ or $CO_2(C_1-C_2)$-alkyl; and R^7 is H or (C_1-C_2)-alkyl; or

ii) m is 1; R^5 is CO_2H, $CO_2(C_1-C_2)$-alkyl or $CON[(C_1-C_2)\text{-alkyl}]_2$; R^7 is H or (C_1-C_2)-alkyl; and A is CH_2CH_2, CH=CH, CH_2SCH_2, phenyl or pyridyl; or

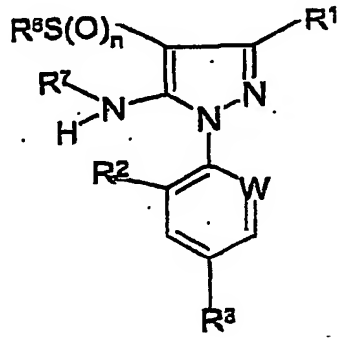
iii) m is 1; R^5 is $NH(C_1-C_2)$-alkyl, $N[(C_1-C_2)\text{-alkyl}]_2$, $NHCO_2(C_1-C_2)$-alkyl or $N[(C_1-C_2)\text{-alkyl}]CO_2(C_1-C_2)$-alkyl; or NR^9R^{17} wherein R^9 and R^{17} together with the attached N atom form a pyrrolidinyl, piperidinyl, morpholinyl or piperazinyl ring, the ring being unsubstituted or substituted by one or more radicals selected from the group consisting of (C_1-C_2)-alkyl and $CO_2-(C_1-C_2)$-alkyl; R^7 is H; and A is $CH(CH)_3$ or CH_2CH_2; and

R^8 is CF_3.

The compounds of general formula (I) can be prepared by the application or adaptation of known methods (i.e. methods heretofore used or described in the chemical literature. It will be understood that in certain cases the use of protecting agents well known in the art may be necessary in order to obtain satisfactory yields.

In the following description of processes when symbols appearing in formulae are not specifically defined, it is understood that they are "as defined above" in accordance with the first definition of each symbol in the specification.

According to a feature of the invention compounds of formula (I) wherein R^1, R^2, R^3, R^5, R^6, R^7, W, A, m and n are as defined above, may be prepared by the acylation of a compound of formula (II):



(II)

wherein R^1, R^2, R^3, R^6, R^7, W and n are as defined above, with a compound of formula (III):

$$R^5\text{-}(A)_m\text{-}CO\text{-}L$$

(III)

wherein R^5, A and m are as defined above and L is a leaving group such as halogen, carboxylate, sulfonate, heterocycle, i.e. compounds of formula (III) can be acyl halides, carboxy anhydrides, active esters or active amides. Compounds of formula (III) may be generated in situ from the corresponding carboxylic acids or their salts. The reaction is generally performed in the presence of a base such as tertiary amine, an alkali metal hydride, hydroxide, carbonate or alcoholate, for example sodium hydride, potassium hydroxide, potassium carbonate or sodium ethoxide, in a solvent such as dioxan, tetrahydrofuran or N,N-dimethylformamide, at a temperature of from 0° to 150°C (preferably 0° to 100°C).

According to a further feature of the invention compounds of formula (I) wherein R^1, R^2, R^3, R^5, R^6, W, A, m and n are as defined above, and R^7 is as defined above with the exclusion of hydrogen, may be prepared by the alkylation or acylation of the

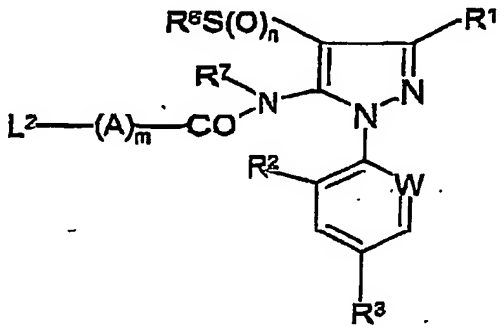
corresponding compound of formula (I) in which R^7 is hydrogen, with a compound of formula (IV):

$$R^7\text{-}L^1$$

(IV)

wherein R^7 is as defined above with the exclusion of hydrogen and L^1 is a leaving group, generally halogen and preferably chlorine or iodine. The reaction is generally performed in the presence of a base such as sodium hydride, in a solvent such as dioxan, tetrahydrofuran or N,N-dimethylformamide, at a temperature of from 0° to 100°C (preferably 0° to 50°C).

According to a further feature of the invention compounds of formula (I) wherein R^1, R^2, R^3, R^6, R^7, W, A and n are as defined above, R^5 is NR^9R^{10} and m is 1, may be prepared by the nucleophilic substitution of a corresponding compound of formula (V):



(V)

wherein R^1, R^2, R^3, R^6, R^7, A, W and n are as defined above, m is 1 and L^2 is a leaving group such as halogen (preferably chlorine) or sulfonate, with a compound of formula (VI):

$$H\text{-}NR^9R^{10}$$

(VI)

wherein R^9 and R^{10} are as defined above. The reaction is generally performed in the presence of a base such as a tertiary amine, for example triethylamine, or a metal hydride for example sodium hydride, in a solvent such as dioxan, tetrahydrofuran or N,N-dimethylformamide, at a temperature of from 0° to 100°C (preferably 0° to 50°C).

According to a feature of the invention intermediates of formula (V) wherein R^1, R^2, R^3, R^5, R^6, R^7, W, A, L^2, m and n are as defined above, may be prepared by the acylation of a compound of formula (II) using a compound of formula (VII):

$$L^2\text{-}(A)_m\text{-}COCl$$

(VII)

wherein L^2, A and m are as defined above . The reaction is generally performed according to the above procedure for the preparation of compounds of formula (I) from compounds of formula (II) and (III).

According to a further feature of the invention compounds of formula (I) wherein R^1, R^2, R^3, R^5, R^6, R^7, W, A and m are as defined above, and n is 1 or 2 may be prepared by oxidising a corresponding compound in which n is 0 or 1. The oxidation is generally performed using a peracid such as 3-chloroperbenzoic acid in a solvent such as dichloromethane or 1,2-dichloroethane, at a temperature of from 0°C to the reflux temperature of the solvent.

Collections of compounds of the formula (I) which can be synthesized by the above mentioned process may also be prepared in a parallel manner, and this may be effected manually or in a semiautomated or fully automated manner. In this case, it is possible, for example, to automate the procedure of the reaction, work-up or purification of the products or of the intermediates. In total, this is to be understood as meaning a procedure as is described, for example, by S.H. DeWitt in "Annual Reports in Combinatorial Chemistry and Molecular Diversity: Automated Synthesis", Volume 1, Verlag Escom 1997, pages 69 to 77.

A series of commercially available apparatuses as are offered by, for example, Stem Corporation, Woodrolfe Road, Tollesbury, Essex, CM9 8SE, England or H+P Labortechnik GmbH, Bruckmannring 28, 85764 Oberschleißheim, Germany or Radleys, Shirehill, Saffron Walden, Essex, England, may be used for the parallel procedure of the reaction and work-up. For the parallel purification of compounds of the formula (I), or of intermediates obtained during the preparation, use may be

made, inter alia, of chromatography apparatuses, for example those by ISCO, Inc., 4700 Superior Street, Lincoln, NE 68504, USA.

The apparatuses mentioned lead to a modular procedure in which the individual process steps are automated, but manual operations must be performed between the process steps. This can be prevented by employing semi-integrated or fully integrated automation systems where the automation modules in question are operated by, for example, robots. Such automation systems can be obtained, for example, from Zymark Corporation, Zymark Center, Hopkinton, MA 01748, USA.

In addition to what has been described here, compounds of the formula (I) may be prepared in part or fully by solid-phase-supported methods. For this purpose, individual intermediate steps or all intermediate steps of the synthesis or of a synthesis adapted to suit the procedure in question are bound to a synthetic resin. Solid-phase-supported synthesis methods are described extensively in the specialist literature, for example Barry A. Bunin in "The Combinatorial Index", Academic Press, 1998.
The use of solid-phase-supported synthesis methods permits a series of protocols which are known from the literature and which, in turn, can be performed manually or in an automated manner. For example, the "tea-bag method" (Houghten, US 4,631,211; Houghten et al., Proc. Natl. Acad. Sci, 1985, 82, 5131-5135), in which products by IRORI, 11149 North Torrey Pines Road, La Jolla, CA 92037, USA, are employed, may be semiautomated. The automation of solid-phase-supported parallel syntheses is performed successfully, for example, by apparatuses by Argonaut Technologies, Inc., 887 Industrial Road, San Carlos, CA 94070, USA or MultiSynTech GmbH, Wullener Feld 4, 58454 Witten, Germany.

The preparation of the processes described herein yields compounds of the formula (I) in the form of substance collections which are termed libraries. The present invention also relates to libraries which comprise at least two compounds of the formula (I).

Some of the compounds of formula (V) are novel and as such form a further feature of the invention, whilst the other compounds of formula (V) may be prepared by known methods.

Compounds of formula (II), (III), (IV), (VI) and (VII) are known or may be prepared by known methods.

The following non-limiting Examples illustrate the preparation of the compounds of formula (I).

NMR spectra were run in deuterochloroform unless stated otherwise.

In the Examples which follow, quantities (also percentages) are weight-based, unless stated otherwise.

Compound numbers are given for reference purposes only.

Example 1: 1-(2,6-Dichloro-4-trifluoromethylphenyl)-3-cyano-5-(ethoxycarbonyl)carbonylamino-4-trifluoromethylthiopyrazole (Compound number 1-04)

To a solution of 5-amino-1-(2,6-dichloro-4-trifluoromethylphenyl)-3-cyano-4-trifluoromethylthiopyrazole (10.0 g, 23.7 mmol) in tetrahydrofuran was added triethylamine (3.36 g, 33.2 mmol) and 4-dimethylaminopyridine (0.58 g, 4.7 mmol), followed by dropwise addition of ethyl oxalyl chloride (4.21 g, 30.9 mmol) in tetrahydrofuran at 50-70°C. The mixture was heated to reflux for 8 hours. After extractive workup (heptane-ethyl acetate, water, HCl) the title product was obtained (13.9 g).

Example 2: 1-(2,6-Dichloro-4-trifluoromethylphenyl)-3-cyano-5-N-(ethoxycarbonyl)carbonyl-N-methylamino-4-trifluoromethylthiopyrazole (Compound number 1-19)

To a solution of 1-(2,6-dichloro-4-trifluoromethylphenyl)-3-cyano-5-(ethoxycarbonyl)carbonylamino-4-trifluoromethylthiopyrazole (Compound 1-04, 2.50 g, 4.8 mmol) in N,N-dimethylformamide was added potassium carbonate (0.80 g, 9.6 mmol) and methyl iodide (1.36 g, 5.8 mmol). The mixture was heated to 35-40°C for 6 hours. After extractive workup the title product was obtained (2.29 g).

Example 3: 1-(2,6-Dichloro-4-trifluoromethylphenyl)-3-cyano-5-N-(2-carboxyethyl)carbonyl-N-methylamino-4-trifluoromethylsulfinylpyrazole (Compound number 3-20)

To a solution of 1-(2,6-dichloro-4-trifluoromethylphenyl)-3-cyano-5-methylamino-4-trifluoromethylsulfinylpyrazole (3.0 g, 7.1 mmol) in tetrahydrofuran was added sodium hydride (60%, 0.32 g, 8.5 mmol), then succinic anhydride (1.00 g, 10.6 mmol). The mixture was stirred at 25°C for 36 hours. After extractive workup and column chromatography with ethyl acetate-methanol (95:5) the title product was obtained (0.87 g).

Example 4: 1-(2,6-Dichloro-4-trifluoromethylphenyl)-3-cyano-5-(2-diethylaminopropionylamino)-4-trifluoromethylthiopyrazole (Compound number 7-13)

To a solution of 5-(2-chloropropionylamino)-1-(2,6-dichloro-4-trifluoromethylphenyl)-3-cyano-4-trifluoromethylthiopyrazole (2.0 g, 3.9 mmol) in tetrahydrofuran was added diethylamine (0.86 g, 11.7 mmol) and sodium iodide (0.59 g, 3.9 mmol). The mixture was stirred at 130 °C for 30 minutes in a closed vessel in a microwave oven. After extractive workup and column chromatography with heptane –ethyl acetate (2:1) there was obtained the title product (0.71 g).

Example 5: 1-(2,6-Dichloro-4-trifluoromethylphenyl)-3-cyano-5-[3-(benzyloxycarbonylamino]-propionylamino)-4-trifluoromethylthiopyrazole (Compound number 7-50)

To a solution of 5-amino-1-(2,6-dichloro-4-trifluoromethylphenyl)-3-cyano-4-trifluoromethylsulfinylpyrazole (1.0 g, 2.29 mmol) in dioxan, benzyloxycarbonyl-beta-alanine (0.56 g, 2.5 mmol), dicyclohexylcarbodiimide (0.61 g, 2.9 mmol) and 4-dimethylaminopyridine (0.06 g, 0.46 mmol) were added. The mixture was stirred at 25 °C for 24 hours. After extractive workup (ethyl acetate, water) and column chromatography with heptane-ethyl acetate (1:1) the title product was obtained (0.81 g).

Example 6: 1-(2,6-Dichloro-4-trifluoromethylphenyl)-3-cyano-5-(3-N-piperidinylpropionylamino)-4-trifluoromethylthiopyrazole (Compound number 7-73)

To a solution of 3-chloropropionylamino-1-(2,6-dichloro-4-trifluoromethylphenyl)-3-cyano-4-trifluoromethylthiopyrazole (0.8 g, 1.6 mmol) in tetrahydrofuran was added piperidine (0.32 g, 3.8 mmol). The mixture was heated at reflux for 6 hours. After extractive workup and column chromatography with heptane-ethyl acetate (1:1) the title product was obtained (0.80 g).

The following Reference Examples illustrate the preparation of intermediates used in the synthesis of the above Examples.

Reference Example 1: 5-(2-Chloropropionylamino)-1-(2,6-dichloro-4-trifluoromethylphenyl)-3-cyano-4-trifluoromethylthiopyrazole
To a mixture of 5-amino-1-(2,6-dichloro-4-trifluoromethylphenyl)-3-cyano-4-trifluoromethylthiopyrazole (8.0 g, 19.0 mmol) in toluene was added 2-chloropropionyl chloride (3.62 g, 28.5 mmol), then zinc chloride (0.77 g, 5.7 mmol). The mixture was heated at reflux for 16 hours. After extractive workup and recrystallisation with heptane-ethyl acetate (1:1) the title product was obtained (7.02 g), 19F-NMR: -43,7;-64,2 ppm.

Reference Example 2: 3-Chloropropionylamino-1-(2,6-dichloro-4-trifluoromethylphenyl)-3-cyano-4-trifluoromethylthiopyrazole
To a mixture of 5-amino-1-(2,6-dichloro-4-trifluoromethylphenyl)-3-cyano-4-trifluoromethylthiopyrazole (10.0 g, 19.0 mmol) in toluene was added 3-chloropropionyl chloride (3.92 g, 30.9 mmol), then zinc chloride (0.97 g, 7.1 mmol). The mixture was heated at reflux for 4 hours. After extractive workup and recrystallisation with heptane-ethyl acetate (1:1) the title product was obtained (9.05 g), 19F-NMR: -43,3;-63,7 ppm.

The following preferred compounds shown in Tables 1 to 8 also form part of the present invention, and were or may be prepared in accordance with, or analogously to, the above-mentioned Examples 1 to 6 or the above-described general methods. Where subscripts are omitted after atoms it will be understood that they are intended, for example CH3 means CH_3.

In the Tables, Et means ethyl, i-Pr means isopropyl, t-Bu means tert-butyl, Ph means phenyl, cC3H5 means cyclopropyl, cC6H11 means cyclohexyl, C2H4OMe means 2-methoxyethyl, C3H6Cl means 3-chloropropyl, 1,2-C6H4 means phenyl which is attached to the R^6 and $CONR^7$- groups at the 1 and 2-positions. Where A is depicted the carbon on the left side of A is attached to R^5.

Z- and E- refer respectively to the cis and trans isomers.

19F-NMR spectra shift values are given in ppm.

Table 1: Compounds of formula (I) in which the substituents have the following meanings:

R^1=CN, R^2 = Cl, W = CR^4 and R^4 = Cl, R^3 = CF_3, R^6 is CF_3, m= 0

Compound number	R^7	R^5	n	mp.°C, NMR(ppm)
1- 01	H	COOMe	0	
1- 02	H	COOMe	1	19F:-63,8;-73,5
1- 03	H	COOMe	2	
1- 04	H	COOEt	0	19F:-43,3;-63,8
1- 05	H	COOEt	1	19F:-63,8;-73,4
1- 06	H	COOEt	2	
1- 07	H	COOnPr	0	
1- 09	H	COOnPr	1	
1- 09	H	COOnPr	2	
1- 10	H	COOnBu	0	
1- 11	H	COOnBu	1	
1- 12	H	COOnBu	2	
1- 13	H	COOCH2Ph	0	
1- 14	H	COOCH2Ph	1	
1- 15	H	COOCH2Ph	2	
1- 16	Me	COOMe	0	19F:-42,7;-63,8
1- 17	Me	COOMe	1	19F:-64,0;-72,4
1- 18	Me	COOMe	2	
1- 19	Me	COOEt	0	19F:-42,7;-63,9
1- 20	Me	COOEt	1	19F:-64,0;-72,3-7
1- 21	Me	COOEt	2	
1- 22	Me	COOnPr	0	
1- 23	Me	COOnPr	1	
1- 24	Me	COOnPr	2	
1- 25	Me	COOiPr	0	

Compound	R^7	R^5	n	mp.°C, NMR(ppm)
1- 26	Me	COOiPr	1	
1- 27	Me	COOiPr	2	
1- 28	Me	COOnBu	0	
1- 29	Me	COOnBu	1	
1- 30	Me	COOnBu	2	
1- 31	Me	COOCH(Me)Et	0	
1- 32	Me	COOCH(Me)Et	1	
1- 33	Me	COOCH(Me)Et	2	
1- 34	Me	COOiBu	0	
1- 35	Me	COOiBu	1	
1- 36	Me	COOiBu	2	
1- 37	Me	COOtBu	0	
1- 38	Me	COOtBu	1	
1- 39	Me	COOtBu	2	
1- 40	Me	COOnC5H11	0	
1- 41	Me	COOnC5H11	1	
1- 42	Me	COOnC5H11	2	
1- 43	Me	COOCH2tBu	0	
1- 44	Me	COOCH2tBu	1	
1- 45	Me	COOCH2tBu	2	
1- 46	Me	COOnC6H13	0	
1- 47	Me	COOnC6H13	1	
1- 48	Me	COOnC6H13	2	
1- 49	Me	COOCH2CH=CH2	0	
1- 50	Me	COOCH2CH=CH2	1	
1- 51	Me	COOCH2CH=CH2	2	
1- 52	Me	COOcC5H9	0	
1- 53	Me	COOcC5H9	1	
1- 54	Me	COOcC5H9	2	
1- 55	Me	COOcC6H13	0	
1- 56	Me	COOcC6H13	1	
1- 57	Me	COOcC6H13	2	
1- 58	Me	COOCH2cC3H5	0	
1- 59	Me	COOCH2cC3H5	1	
1- 60	Me	COOCH2cC3H5	2	
1- 61	Me	COOCH2cC6H11	0	
1- 62	Me	COOCH2cC6H11	1	
1- 63	Me	COOCH2cC6H11	2	
1- 64	Me	COOC3H6Cl	0	
1- 65	Me	COOC3H6Cl	1	
1- 66	Me	COOC3H6Cl	2	

Compound	R'	R^5	n	mp.°C, NMR(ppm)
1- 67	Me	COOC2H4OMe	0	
1- 68	Me	COOC2H4OMe	1	
1- 69	Me	COOC2H4OMe	2	
1- 70	Me	COOC2H4OEt	0	
1- 71	Me	COOC2H4OEt	1	
1- 72	Me	COOC2H4OEt	2	
1- 73	Me	COOCH2Ph	0	
1- 74	Me	COOCH2Ph	1	
1- 75	Me	COOCH2Ph	2	
1- 76	Me	COOCH(Me)Ph	0	
1- 77	Me	COOCH(Me)Ph	1	
1- 78	Me	COOCH(Me)Ph	2	
1- 79	Me	COOC2H4Ph	0	
1- 80	Me	COOC2H4Ph	1	
1- 81	Me	COOC2H4Ph	2	
1- 82	Me	COOH	0	
1- 83	Me	COOH	1	
1- 84	Me	COOH	2	
1- 85	Et	COOMe	0	
1- 86	Et	COOMe	1	
1- 87	Et	COOMe	2	
1- 88	Et	COOEt	0	19F:-42,4;-63,9
1- 89	Et	COOEt	1	
1- 90	Et	COOEt	2	
1- 91	CH2Ph	COOMe	0	
1- 92	CH2Ph	COOMe	1	
1- 93	CH2Ph	COOMe	2	
1- 94	Allyl	COOMe	0	
1- 95	Allyl	COOMe	1	
1- 96	Allyl	COOMe	2	
1- 97	Allyl	COOEt	0	
1- 98	Allyl	COOEt	1	
1- 99	Allyl	COOEt	2	

Table 2: Compounds of formula (I) in which the substituents have the following meanings:

R^1=CN, R^2 = Cl, W = CR^4 and R^4 = Cl, R^3 = CF_3, R^6 is CF_3, m= 0

Compound	R'	R^5	n	mp.°C, NMR(ppm)

Compound number	R^1	R^5		n	mp.°C, NMR(ppm)
2- 01	H	CONH2		0	
2- 02	H	CONH2		1	
2- 03	H	CONH2		2	
2- 04	H	CONHMe		0	
2- 05	H	CONHMe		1	
2- 06	H	CONHMe		2	
2- 07	H	CONHEt		0	
2- 09	H	CONHEt		1	
2- 09	H	CONHEt		2	
2- 10	H	CONHnPr		0	
2- 11	H	CONHnPr		1	
2- 12	H	CONHnPr		2	
2- 13	H	CONHiPr		0	
2- 14	H	CONHiPr		1	
2- 15	H	CONHiPr		2	
2- 16	H	CONHnBu		0	19F:-43,6;-64,2
2- 17	H	CONHnBu		1	
2- 18	H	CONHnBu		2	
2- 19	H	CONMe2		0	
2- 20	H	CONMe2		1	
2- 21	H	CONMe2		2	
2- 22	H	CONEt2		0	19F:-43,7;-64,2
2- 23	H	CONEt2		1	
2- 24	H	CONEt2		2	
2- 25	H	CONnPr2		0	
2- 26	H	CONnPr2		1	
2- 27	H	CONnPr2		2	
2- 28	H	CONnBu2		0	
2- 29	H	CONnBu2		1	
2- 30	H	CONnBu2		2	
2- 31	H	CO-N-Pyrrolidinyl		0	
2- 32	H	CO-N-Pyrrolidinyl		1	
2- 33	H	CO-N-Pyrrolidinyl		2	
2- 34	H	CO-N-Piperidinyl		0	
2- 35	H	CO-N-Piperidinyl		1	
2- 36	H	CO-N-Piperidinyl		2	
2- 37	H	CO-N-Morpholinyl		0	
2- 38	H	CO-N-Morpholinyl		1	
2- 39	H	CO-N-Morpholinyl		2	
2- 40	H	CO-N-4-Me-Piperazinyl		0	
2- 41	H	CO-N-4-Me-Piperazinyl		1	

Compound	R^7	R^5	n	mp.°C, NMR(ppm)
2- 42	H	CO-N-4-Me-Piperazinyl	2	
2- 43	Me	CONH2	0	
2- 44	Me	CONH2	1	
2- 45	Me	CONH2	2	
2- 46	Me	CONHMe	0	
2- 47	Me	CONHMe	1	
2- 48	Me	CONHMe	2	
2- 49	Me	CONHEt	0	
2- 50	Me	CONHEt	1	
2- 51	Me	CONHEt	2	
2- 52	Me	CONHnPr	0	
2- 53	Me	CONHnPr	1	
2- 54	Me	CONHnPr	2	
2- 55	Me	CONHiPr	0	
2- 56	Me	CONHiPr	1	
2- 57	Me	CONHiPr	2	
2- 58	Me	CONHnBu	0	
2- 59	Me	CONHnBu	1	
2- 60	Me	CONHnBu	2	
2- 61	Me	CONMe2	0	
2- 62	Me	CONMe2	1	
2- 63	Me	CONMe2	2	
2- 64	Me	CONEt2	0	19F:-43,2;-64,2
2- 65	Me	CONEt2	1	
2- 66	Me	CONEt2	2	
2- 67	Me	CONnPr2	0	
2- 68	Me	CONnPr2	1	
2- 69	Me	CONnPr2	2	
2- 70	Me	CONnBu2	0	
2- 71	Me	CONnBu2	1	
2- 72	Me	CONnBu2	2	
2- 73	Me	CO-N-Pyrrolidinyl	0	
2- 74	Me	CO-N-Pyrrolidinyl	1	
2- 75	Me	CO-N-Pyrrolidinyl	2	
2- 76	Me	CO-N-Piperidinyl	0	
2- 77	Me	CO-N-Piperidinyl	1	
2- 78	Me	CO-N-Piperidinyl	2	
2- 79	Me	CO-N-Morpholinyl	0	
2- 80	Me	CO-N-Morpholinyl	1	
2- 81	Me	CO-N-Morpholinyl	2	
2- 82	Me	CO-N-4-Me-Piperazinyl	0	

Compound	R'	R5	n	mp.°C, NMR(ppm)
2- 83	Me	CO-N-4-Me-Piperazinyl	1	
2- 84	Me	CO-N-4-Me-Piperazinyl	2	
2- 85	Et	CONMe2	0	
2- 86	Et	CONMe2	1	
2- 87	Et	CONMe2	2	
2- 88	Et	CONEt2	0	
2- 89	Et	CONEt2	1	
2- 90	Et	CONEt2	2	
2- 91	CH2OMe	CONEt2	0	
2- 92	CH2OMe	CONEt2	1	
2- 93	CH2OMe	CONEt2	2	
2- 94	CH2Ph	CONEt2	0	
2- 95	CH2Ph	CONEt2	1	
2- 96	CH2Ph	CONEt2	2	
2- 97	Allyl	CONEt2	0	
2- 98	Allyl	CONEt2	1	
2- 99	Allyl	CONEt2	2	
2- 100	nPr	CONEt2	0	
2- 101	nPr	CONEt2	1	
2- 102	nPr	CONEt2	2	

Table 3: Compounds of formula (I) in which the substituents have the following meanings:

R^1=CN, R^2 = Cl, W = CR^4 and R^4 = Cl, R^3 = CF_3, R^6 is CF_3, m= 1, A= CH_2CH_2

Compound number	R'	R5	n	mp.°C, NMR(ppm)
3- 01	H	COOH	0	19F:-42,8;-63,7
3- 02	H	COOH	1	
3- 03	H	COOH	2	
3- 04	H	COOMe	0	
3- 05	H	COOMe	1	
3- 06	H	COOMe	2	
3- 07	H	COOEt	0	
3- 09	H	COOEt	1	
3- 09	H	COOEt	2	
3- 10	H	COOnPr	0	
3- 11	H	COOnPr	1	
3- 12	H	COOnPr	2	

Compound	R^7	R^5	n	mp.°C, NMR(ppm)
3- 13	H	COOnBu	0	
3- 14	H	COOnBu	1	
3- 15	H	COOnBu	2	
3- 16	H	COOCH2Ph	0	
3- 17	H	COOCH2Ph	1	
3- 18	H	COOCH2Ph	2	
3- 19	Me	COOH	0	
3- 20	Me	COOH	1	19F:-63,7;-72,2
3- 21	Me	COOH	2	
3- 22	Me	COOMe	0	
3- 23	Me	COOMe	1	
3- 24	Me	COOMe	2	
3- 25	Me	COOEt	0	
3- 26	Me	COOEt	1	
3- 27	Me	COOEt	2	
3- 28	Me	COOnPr	0	
3- 29	Me	COOnPr	1	
3- 30	Me	COOnPr	2	
3- 31	Me	COOiPr	0	
3- 32	Me	COOiPr	1	
3- 33	Me	COOiPr	2	
3- 34	Me	COOnBu	0	
3- 35	Me	COOnBu	1	19F:-63,8;-72,3
3- 36	Me	COOnBu	2	
3- 37	Me	COOCH(Me)Et	0	
3- 38	Me	COOCH(Me)Et	1	
3- 39	Me	COOCH(Me)Et	2	
3- 40	Me	COOiBu	0	
3- 41	Me	COOiBu	1	
3- 42	Me	COOiBu	2	
3- 43	Me	COOtBu	0	
3- 44	Me	COOtBu	1	
3- 45	Me	COOtBu	2	
3- 46	Me	COOnC5H11	0	
3- 47	Me	COOnC5H11	1	
3- 48	Me	COOnC5H11	2	
3- 49	Me	COOCH2tBu	0	
3- 50	Me	COOCH2tBu	1	
3- 51	Me	COOCH2tBu	2	
3- 52	Me	COOnC6H13	0	
3- 53	Me	COOnC6H13	1	

Compound	R^1	R^5	n	mp.°C, NMR(ppm)
3- 54	Me	COOnC6H13	2	
3- 55	Me	COOCH2CH=CH2	0	
3- 56	Me	COOCH2CH=CH2	1	
3- 57	Me	COOCH2CH=CH2	2	
3- 58	Me	COOcC5H9	0	
3- 59	Me	COOcC5H9	1	
3- 60	Me	COOcC5H9	2	
3- 61	Me	COOcC6H13	0	
3- 62	Me	COOcC6H13	1	
3- 63	Me	COOcC6H13	2	
3- 64	Me	COOCH2cC3H5	0	
3- 65	Me	COOCH2cC3H5	1	
3- 66	Me	COOCH2cC3H5	2	
3- 67	Me	COOCH2cC6H11	0	
3- 68	Me	COOCH2cC6H11	1	
3- 69	Me	COOCH2cC6H11	2	
3- 70	Me	COOC3H6Cl	0	
3- 71	Me	COOC3H6Cl	1	
3- 72	Me	COOC3H6Cl	2	
3- 73	Me	COOC2H4OMe	0	
3- 74	Me	COOC2H4OMe	1	
3- 75	Me	COOC2H4OMe	2	
3- 76	Me	COOC2H4OEt	0	
3- 77	Me	COOC2H4OEt	1	
3- 78	Me	COOC2H4OEt	2	
3- 79	Me	COOCH2Ph	0	
3- 80	Me	COOCH2Ph	1	
3- 81	Me	COOCH2Ph	2	
3- 82	Me	COOCH(Me)Ph	0	
3- 83	Me	COOCH(Me)Ph	1	
3- 84	Me	COOCH(Me)Ph	2	
3- 85	Me	COOC2H4Ph	0	
3- 86	Me	COOC2H4Ph	1	
3- 87	Me	COOC2H4Ph	2	
3- 88	Et	COOMe	0	
3- 89	Et	COOMe	1	
3- 90	Et	COOMe	2	
3- 91	Et	COOEt	0	
3- 92	Et	COOEt	1	
3- 93	Et	COOEt	2	
3- 94	CH2Ph	COOMe	0	

Compound	R^7	R^5	n	mp.°C, NMR(ppm)
3- 95	CH2Ph	COOMe	1	
3- 96	CH2Ph	COOMe	2	
3- 97	Allyl	COOMe	0	
3- 98	Allyl	COOMe	1	
3- 99	Allyl	COOMe	2	
3- 100	Me	COOC2H4NMe2	0	
3- 101	Me	COOC2H4NMe2	1	
3- 102	Me	COOC2H4NMe2	2	
3- 103	Me	COO(C2H4O)2Me	0	
3- 104	Me	COO(C2H4O)2Me	1	
3- 105	Me	COO(C2H4O)2Me	2	

Table 4: Compounds of formula (I) in which the substituents have the following meanings:

R^1=CN, R^2 = Cl, W = CR^4 and R^4 = Cl, R^3 = CF_3, R^8 is CF_3, m= 1, A= CH_2CH_2

Compound number	R^7	R^5	n	mp.°C, NMR(ppm)
4- 01	H	CONH2	0	
4- 02	H	CONH2	1	
4- 03	H	CONH2	2	
4- 04	H	CONHMe	0	
4- 05	H	CONHMe	1	
4- 06	H	CONHMe	2	
4- 07	H	CONHEt	0	
4- 09	H	CONHEt	1	
4- 09	H	CONHEt	2	
4- 10	H	CONHnPr	0	
4- 11	H	CONHnPr	1	
4- 12	H	CONHnPr	2	
4- 13	H	CONHiPr	0	
4- 14	H	CONHiPr	1	
4- 15	H	CONHiPr	2	
4- 16	H	CONHnBu	0	
4- 17	H	CONHnBu	1	
4- 18	H	CONHnBu	2	
4- 19	H	CONMe2	0	
4- 20	H	CONMe2	1	
4- 21	H	CONMe2	2	

Compound	R^1	R^5	n	mp.°C, NMR(ppm)
4- 22	H	CONEt2	0	
4- 23	H	CONEt2	1	19F:-63,8;-72,0
4- 24	H	CONEt2	2	
4- 25	H	CONnPr2	0	
4- 26	H	CONnPr2	1	
4- 27	H	CONnPr2	2	
4- 28	H	CONnBu2	0	
4- 29	H	CONnBu2	1	
4- 30	H	CONnBu2	2	
4- 31	H	CO-N-Pyrrolidinyl	0	
4- 32	H	CO-N-Pyrrolidinyl	1	
4- 33	H	CO-N-Pyrrolidinyl	2	
4- 34	H	CO-N-Piperidinyl	0	
4- 35	H	CO-N-Piperidinyl	1	
4- 36	H	CO-N-Piperidinyl	2	
4- 37	H	CO-N-Morpholinyl	0	
4- 38	H	CO-N-Morpholinyl	1	
4- 39	H	CO-N-Morpholinyl	2	
4- 40	H	CO-N-4-Me-Piperazinyl	0	
4- 41	H	CO-N-4-Me-Piperazinyl	1	
4- 42	H	CO-N-4-Me-Piperazinyl	2	
4- 43	Me	CONH2	0	
4- 44	Me	CONH2	1	
4- 45	Me	CONH2	2	
4- 46	Me	CONHMe	0	
4- 47	Me	CONHMe	1	
4- 48	Me	CONHMe	2	
4- 49	Me	CONHEt	0	
4- 50	Me	CONHEt	1	
4- 51	Me	CONHEt	2	
4- 52	Me	CONHnPr	0	
4- 53	Me	CONHnPr	1	
4- 54	Me	CONHnPr	2	
4- 55	Me	CONHiPr	0	
4- 56	Me	CONHiPr	1	
4- 57	Me	CONHiPr	2	
4- 58	Me	CONHnBu	0	
4- 59	Me	CONHnBu	1	
4- 60	Me	CONHnBu	2	
4- 61	Me	CONMe2	0	
4- 62	Me	CONMe2	1	19F:-63,7;-72,2

Compound	R^1	R^5	n	mp.°C, NMR(ppm)
4- 63	Me	CONMe2	2	
4- 64	Me	CONEt2	0	
4- 65	Me	CONEt2	1	
4- 66	Me	CONEt2	2	
4- 67	Me	CONnPr2	0	
4- 68	Me	CONnPr2	1	
4- 69	Me	CONnPr2	2	
4- 70	Me	CONnBu2	0	
4- 71	Me	CONnBu2	1	
4- 72	Me	CONnBu2	2	
4- 73	Me	CO-N-Pyrrolidinyl	0	
4- 74	Me	CO-N-Pyrrolidinyl	1	
4- 75	Me	CO-N-Pyrrolidinyl	2	
4- 76	Me	CO-N-Piperidinyl	0	
4- 77	Me	CO-N-Piperidinyl	1	
4- 78	Me	CO-N-Piperidinyl	2	
4- 79	Me	CO-N-Morpholinyl	0	
4- 80	Me	CO-N-Morpholinyl	1	
4- 81	Me	CO-N-Morpholinyl	2	
4- 82	Me	CO-N-4-Me-Piperazinyl	0	
4- 83	Me	CO-N-4-Me-Piperazinyl	1	
4- 84	Me	CO-N-4-Me-Piperazinyl	2	
4- 85	Et	CONMe2	0	
4- 86	Et	CONMe2	1	
4- 87	Et	CONMe2	2	
4- 88	Et	CONEt2	0	
4- 89	Et	CONEt2	1	
4- 90	Et	CONEt2	2	
4- 91	CH2OMe	CONEt2	0	
4- 92	CH2OMe	CONEt2	1	
4- 93	CH2OMe	CONEt2	2	
4- 94	CH2Ph	CONEt2	0	
4- 95	CH2Ph	CONEt2	1	
4- 96	CH2Ph	CONEt2	2	
4- 97	Allyl	CONEt2	0	
4- 98	Allyl	CONEt2	1	
4- 99	Allyl	CONEt2	2	
4- 100	nPr	CONEt2	0	
4- 101	nPr	CONEt2	1	
4- 102	nPr	CONEt2	2	

Table 5: Compounds of formula (I) in which the substituents have the following meanings:

R^1=CN, R^2 = Cl, W = CR^4 and R^4 = Cl, R^3 = CF_3, R^6 is CF_3, m= 1, R^7 = Me

Compound number	A	R^5	n	mp.°C, NMR(ppm)
5-01	Z-CH=CH	COOH	0	
5-02	Z-CH=CH	COOH	1	
5-03	Z-CH=CH	COOH	2	
5-04	Z-CH=CH	COOMe	0	
5-05	Z-CH=CH	COOMe	1	
5-06	Z-CH=CH	COOMe	2	
5-07	Z-CH=CH	COOEt	0	
5-09	Z-CH=CH	COOEt	1	
5-09	Z-CH=CH	COOEt	2	
5-10	Z-CH=CH	COOnPr	0	
5-11	Z-CH=CH	COOnPr	1	
5-12	Z-CH=CH	COOnPr	2	
5-13	Z-CH=CH	COOnBu	0	
5-14	Z-CH=CH	COOnBu	1	
5-15	Z-CH=CH	COOnBu	2	
5-16	Z-CH=CH	COOCH2Ph	0	
5-17	Z-CH=CH	COOCH2Ph	1	
5-18	Z-CH=CH	COOCH2Ph	2	
5-19	E-CH=CH	COOH	0	
5-20	E-CH=CH	COOH	1	
5-21	E-CH=CH	COOH	2	
5-22	E-CH=CH	COOMe	0	
5-23	E-CH=CH	COOMe	1	
5-24	E-CH=CH	COOMe	2	
5-25	E-CH=CH	COOEt	0	
5-26	E-CH=CH	COOEt	1	19F:-64,3;-72,7
5-27	E-CH=CH	COOEt	2	
5-28	E-CH=CH	COOnPr	0	
5-29	E-CH=CH	COOnPr	1	
5-30	E-CH=CH	COOnPr	2	
5-31	E-CH=CH	COOnBu	0	
5-32	E-CH=CH	COOnBu	1	
5-33	E-CH=CH	COOnBu	2	
5-34	E-CH=CH	COOCH2Ph	0	
5-35	E-CH=CH	COOCH2Ph	1	
5-36	E-CH=CH	COOCH2Ph	2	

Compound	A	R^5	n	mp.°C, NMR(ppm)
5-37	C3H6	COOH	0	
5-38	C3H6	COOH	1	
5-39	C3H6	COOH	2	
5-40	C3H6	COOMe	0	
5-41	C3H6	COOMe	1	
5-42	C3H6	COOMe	2	
5-43	C3H6	COOEt	0	
5-44	C3H6	COOEt	1	19F:-64,3;-72,7
5-45	C3H6	COOEt	2	
5-46	C3H6	COOnPr	0	
5-47	C3H6	COOnPr	1	
5-48	C3H6	COOnPr	2	
5-49	C3H6	COOnBu	0	
5-50	C3H6	COOnBu	1	
5-51	C3H6	COOnBu	2	
5-52	C3H6	COOCH2Ph	0	
5-53	C3H6	COOCH2Ph	1	
5-54	C3H6	COOCH2Ph	2	
5-55	CH2CH=CHCH2	COOH	0	
5-56	CH2CH=CHCH2	COOH	1	
5-57	CH2CH=CHCH2	COOH	2	
5-58	CH2CH=CHCH2	COOMe	0	
5-59	CH2CH=CHCH2	COOMe	1	
5-60	CH2CH=CHCH2	COOMe	2	
5-61	CH2CH=CHCH2	COOEt	0	
5-62	CH2CH=CHCH2	COOEt	1	
5-63	CH2CH=CHCH2	COOEt	2	
5-64	CH2CH=CHCH2	COOnPr	0	
5-65	CH2CH=CHCH2	COOnPr	1	
5-66	CH2CH=CHCH2	COOnPr	2	
5-67	CH2CH=CHCH2	COOnBu	0	
5-68	CH2CH=CHCH2	COOnBu	1	
5-69	CH2CH=CHCH2	COOnBu	2	
5-70	CH2CH=CHCH2	COOCH2Ph	0	
5-71	CH2CH=CHCH2	COOCH2Ph	1	
5-72	CH2CH=CHCH2	COOCH2Ph	2	
5-73	CH2SCH2	COOH	0	
5-74	CH2SCH2	COOH	1	19F:-63,7;-72,1
5-75	CH2SCH2	COOH	2	
5-76	CH2SCH2	COOMe	0	
5-77	CH2SCH2	COOMe	1	

Compound	A	R^5	n	mp.°C, NMR(ppm)
5-78	CH2SCH2	COOMe	2	
5-79	CH2SCH2	COOEt	0	
5-80	CH2SCH2	COOEt	1	
5-81	CH2SCH2	COOEt	2	
5-82	CH2N(Me)CH2	COOH	0	
5-83	CH2N(Me)CH2	COOH	1	
5-84	CH2N(Me)CH2	COOH	2	
5-85	CH2N(Me)CH2	COOMe	0	
5-86	CH2N(Me)CH2	COOMe	1	
5-87	CH2N(Me)CH2	COOMe	2	
5-88	CH2N(Me)CH2	COOEt	0	
5-89	CH2N(Me)CH2	COOEt	1	
5-90	CH2N(Me)CH2	COOEt	2	
5-91	1,2-C6H4	COOH	0	
5-92	1,2-C6H4	COOH	1	
5-93	1,2-C6H4	COOH	2	
5-94	1,2-C6H4	COOMe	0	
5-95	1,2-C6H4	COOMe	1	19F:-64,1;-73,1
5-96	1,2-C6H4	COOMe	2	
5-97	1,2-C6H4	COOEt	0	
5-98	1,2-C6H4	COOEt	1	
5-99	1,2-C6H4	COOEt	2	
5-100	1,3-C6H4	COOH	0	
5-101	1,3-C6H4	COOH	1	
5-102	1,3-C6H4	COOH	2	
5-103	1,3-C6H4	COOMe	0	
5-104	1,3-C6H4	COOMe	1	
5-105	1,3-C6H4	COOMe	2	
5-106	1,3-C6H4	COOEt	0	
5-107	1,3-C6H4	COOEt	1	
5-108	1,3-C6H4	COOEt	2	
5-109	1,4-C6H4	COOH	0	
5-110	1,4-C6H4	COOH	1	
5-111	1,4-C6H4	COOH	2	
5-112	1,4-C6H4	COOMe	0	
5-113	1,4-C6H4	COOMe	1	19F:-64,2;-72,3
5-114	1,4-C6H4	COOMe	2	
5-115	1,4-C6H4	COOEt	0	
5-116	1,4-C6H4	COOEt	1	
5-117	1,4-C6H4	COOEt	2	
5-118	2,6-Pyridyl	COOH	0	

Compound	A	R^5	n	mp.°C, NMR(ppm)
5- 119	2,6-Pyridyl	COOH	1	
5- 120	2,6-Pyridyl	COOH	2	
5- 121	2,6-Pyridyl	COOMe	0	
5- 122	2,6-Pyridyl	COOMe	1	19F:-64,3;-73,9
5- 123	2,6-Pyridyl	COOMe	2	
5- 124	2,6-Pyridyl	COOEt	0	
5- 125	2,6-Pyridyl	COOEt	1	
5- 126	2,6-Pyridyl	COOEt	2	
5- 127	2,5-Pyridyl	COOH	0	
5- 128	2,5-Pyridyl	COOH	1	
5- 129	2,5-Pyridyl	COOH	2	
5- 130	2,5-Pyridyl	COOMe	0	
5- 131	2,5-Pyridyl	COOMe	1	
5- 132	2,5-Pyridyl	COOMe	2	
5- 133	2,5-Pyridyl	COOEt	0	
5- 134	2,5-Pyridyl	COOEt	1	
5- 135	2,5-Pyridyl	COOEt	2	

Table 6: Compounds of formula (I) in which the substituents have the following meanings:

R^1 = CN, R^2 = Cl, W = CR^4 and R^4 = Cl, R^3 = CF_3, R^6 is CF_3, m= 1, R^7= Me

Compound number	A	R^5	n	mp.°C, NMR(ppm)
6- 01	1,2-cyclopropyl	COOH	0	
6- 02	1,2-cyclopropyl	COOH	1	
6- 03	1,2-cyclopropyl	COOH	2	
6- 04	1,2-cyclopropyl	COOMe	0	
6- 05	1,2-cyclopropyl	COOMe	1	
6- 06	1,2-cyclopropyl	COOMe	2	
6- 07	1,2-cyclopropyl	COOEt	0	
6- 09	1,2-cyclopropyl	COOEt	1	
6- 09	1,2-cyclopropyl	COOEt	2	
6- 10	1,2-cyclobutyl	COOH	0	
6- 11	1,2-cyclobutyl	COOH	1	
6- 12	1,2-cyclobutyl	COOH	2	
6- 13	1,2-cyclobutyl	COOMe	0	
6- 14	1,2-cyclobutyl	COOMe	1	
6- 15	1,2-cyclobutyl	COOMe	2	

Compound	A	R^5	n	mp.°C, NMR(ppm)
6- 16	1,2-cyclobutyl	COOEt	0	
6- 17	1,2-cyclobutyl	COOEt	1	
6- 18	1,2-cyclobutyl	COOEt	2	
6- 19	trans-1,2-cyclopentyl	COOH	0	
6- 20	trans-1,2-cyclopentyl	COOH	1	
6- 21	trans-1,2-cyclopentyl	COOH	2	
6- 22	trans-1,2-cyclopentyl	COOMe	0	
6- 23	trans-1,2-cyclopentyl	COOMe	1	
6- 24	trans-1,2-cyclopentyl	COOMe	2	
6- 25	trans-1,2-cyclopentyl	COOEt	0	
6- 26	trans-1,2-cyclopentyl	COOEt	1	
6- 27	trans-1,2-cyclopentyl	COOEt	2	
6- 28	1;2,2-trimethyl-1,3-cyclopentyl	COOH	0	
6- 29	1,2,2-trimethyl-1,3-cyclopentyl	COOH	1	
6- 30	1,2,2-trimethyl-1,3-cyclopentyl	COOH	2	
6- 31	1,2,2-trimethyl-1,3-cyclopentyl	COOMe	0	
6- 32	1,2,2-trimethyl-1,3-cyclopentyl	COOMe	1	
6- 33	1,2,2-trimethyl-1,3-cyclopentyl	COOMe	2	
6- 34	1,2,2-trimethyl-1,3-cyclopentyl	COOEt	0	
6- 35	1,2,2-trimethyl-1,3-cyclopentyl	COOEt	1	
6- 36	1,2,2-trimethyl-1,3-cyclopentyl	COOEt	2	
6- 37	2,3-(5-norbornenyl)	COOH	0	
6- 38	2,3-(5-norbornenyl)	COOH	1	
6- 39	2,3-(5-norbornenyl)	COOH	2	
6- 40	2,3-(5-norbornenyl)	COOMe	0	
6- 41	2,3-(5-norbornenyl)	COOMe	1	
6- 42	2,3-(5-norbornenyl)	COOMe	2	
6- 43	2,3-(5-norbornenyl)	COOEt	0	
6- 44	2,3-(5-norbornenyl)	COOEt	1	
6- 45	2,3-(5-norbornenyl)	COOEt	2	
6- 46	1,2-cyclohexyl	COOH	0	
6- 47	1,2-cyclohexyl	COOH	1	
6- 48	1,2-cyclohexyl	COOH	2	
6- 49	1,2-cyclohexyl	COOMe	0	
6- 50	1,2-cyclohexyl	COOMe	1	
6- 51	1,2-cyclohexyl	COOMe	2	
6- 52	1,2-cyclohexyl	COOEt	0	
6- 53	1,2-cyclohexyl	COOEt	1	
6- 54	1,2-cyclohexyl	COOEt	2	
6- 55	1,2-cyclohexyl	COOH	0	
6- 56	1,2-cyclohexyl	COOH	1	

Compound	A	R^5	n	mp.°C, NMR(ppm)
6- 57	1,2-cyclohex-1-enyl	COOH	2	
6- 58	1,2-cyclohex-1-enyl	COOMe	0	
6- 59	1,2-cyclohex-1-enyl	COOMe	1	
6- 60	1,2-cyclohex-1-enyl	COOMe	2	
6- 61	1,2-cyclohex-1-enyl	COOEt	0	
6- 62	1,2-cyclohex-1-enyl	COOEt	1	
6- 63	1,2-cyclohex-1-enyl	COOEt	2	
6- 64	1,3-cyclohexyl	COOH	0	
6- 65	1,3-cyclohexyl	COOH	1	
6- 66	1,3-cyclohexyl	COOH	2	
6- 67	1,3-cyclohexyl	COOMe	0	
6- 68	1,3-cyclohexyl	COOMe	1	
6- 69	1,3-cyclohexyl	COOMe	2	
6- 70	1,3-cyclohexyl	COOEt	0	
6- 71	1,3-cyclohexyl	COOEt	1	
6- 72	1,3-cyclohexyl	COOEt	2	
6- 73	1,4-cyclohexyl	COOH	0	
6- 74	1,4-cyclohexyl	COOH	1	
6- 75	1,4-cyclohexyl	COOH	2	
6- 76	1,4-cyclohexyl	COOMe	0	
6- 77	1,4-cyclohexyl	COOMe	1	
6- 78	1,4-cyclohexyl	COOMe	2	
6- 79	1,4-cyclohexyl	COOEt	0	
6- 80	1,4-cyclohexyl	COOEt	1	
6- 81	1,4-cyclohexyl	COOEt	2	

Table 7: Compounds of formula (I) in which the substituents have the following meanings:

R^1=CN, R^2 = Cl, W = CR^4 and R^4 = Cl, R^3 = CF_3, R^6 is CF_3, m= 1, R^7 = H

Compound number	A	R^5	n	mp.°C, NMR(ppm)
7- 01	CH(CH3)	NH2	0	
7- 02	CH(CH3)	NH2	1	
7- 03	CH(CH3)	NH2	2	
7- 04	CH(CH3)	NHMe	0	
7- 05	CH(CH3)	NHMe	1	
7- 06	CH(CH3)	NHMe	2	
7- 07	CH(CH3)	NHEt	0	

Compound	A	R^5	n	mp.°C, NMR(ppm)
7- 09	CH(CH3)	NHEt	1	
7- 09	CH(CH3)	NHEt	2	
7- 10	CH(CH3)	NMe2	0	
7- 11	CH(CH3)	NMe2	1	
7- 12	CH(CH3)	NMe2	2	
7- 13	CH(CH3)	NEt2	0	19F:-44,3;-64,2
7- 14	CH(CH3)	NEt2	1	
7- 15	CH(CH3)	NEt2	2	
7- 16	CH(CH3)	NH-COOtBu	0	
7- 17	CH(CH3)	NH-COOtBu	1	
7- 18	CH(CH3)	NH-COOtBu	2	
7- 19	CH(CH3)	NH-COOCH2Ph	0	19F:-43,9;-64,1
7- 20	CH(CH3)	NH-COOCH2Ph	1	
7- 21	CH(CH3)	NH-COOCH2Ph	2	
7- 22	CH(CH3)	NHCOMe	0	
7- 23	CH(CH3)	NHCOMe	1	
7- 24	CH(CH3)	NHCOMe	2	
7- 25	CH(CH3)	NMe-COOtBu	0	
7- 26	CH(CH3)	NMe-COOtBu	1	
7- 27	CH(CH3)	NMe-COOtBu	2	
7- 28	CH(CH3)	NnPr2	0	
7- 29	CH(CH3)	NnPr2	1	
7- 30	CH(CH3)	NnPr2	2	
7- 31	CH2CH2	NH2	0	
7- 32	CH2CH2	NH2	1	
7- 33	CH2CH2	NH2	2	
7- 34	CH2CH2	NHMe	0	19F:-44,5;-64,1
7- 35	CH2CH2	NHMe	1	
7- 36	CH2CH2	NHMe	2	
7- 37	CH2CH2	NHEt	0	
7- 38	CH2CH2	NHEt	1	
7- 39	CH2CH2	NHEt	2	
7- 40	CH2CH2	NMe2	0	19F:-44,6;-64,1
7- 41	CH2CH2	NMe2	1	
7- 42	CH2CH2	NMe2	2	
7- 43	CH2CH2	NEt2	0	19F:-44,2;-64,2
7- 44	CH2CH2	NEt2	1	
7- 45	CH2CH2	NEt2	2	
7- 46	CH2CH2	NH-COOtBu	0	
7- 47	CH2CH2	NH-COOtBu	1	
7- 48	CH2CH2	NH-COOtBu	2	

Compound	A	R^5	n	mp.°C, NMR(ppm)
7- 49	CH2CH2	NH-COOCH2Ph	0	
7- 50	CH2CH2	NH-COOCH2Ph	1	19F:-63,5;-70,9
7- 51	CH2CH2	NH-COOCH2Ph	2	
7- 52	CH2CH2	NHCOMe	0	
7- 53	CH2CH2	NHCOMe	1	
7- 54	CH2CH2	NHCOMe	2	
7- 55	CH2CH2	NMe-COOtBu	0	
7- 56	CH2CH2	NMe-COOtBu	1	
7- 57	CH2CH2	NMe-COOtBu	2	
7- 58	CH2CH2	NnPr2	0	
7- 59	CH2CH2	NnPr2	1	
7- 60	CH2CH2	NnPr2	2	
7- 61	CH2CH2	NHnPr	0	
7- 62	CH2CH2	NHnPr	1	
7- 63	CH2CH2	NHnPr	2	
7- 64	CH2CH2	NHnBu	0	
7- 65	CH2CH2	NHnBu	1	
7- 66	CH2CH2	NHnBu	2	
7- 67	CH2CH2	NHCH2Ph	0	
7- 68	CH2CH2	NHCH2Ph	1	
7- 69	CH2CH2	NHCH2Ph	2	
7- 70	CH2CH2	N-pyrrolidinyl	0	
7- 71	CH2CH2	N-pyrrolidinyl	1	
7- 72	CH2CH2	N-pyrrolidinyl	2	
7- 73	CH2CH2	N-piperidinyl	0	19F:-44,0;-64,2
7- 74	CH2CH2	N-piperidinyl	1	
7- 75	CH2CH2	N-piperidinyl	2	
7- 76	CH2CH2	N-morpholinyl	0	
7- 77	CH2CH2	N-morpholinyl	1	
7- 78	CH2CH2	N-morpholinyl	2	
7- 79	CH2CH2	N(Me)-CH2COOEt	0	19F:-44,2;-64,1
7- 80	CH2CH2	N(Me)-CH2COOEt	1	
7- 81	CH2CH2	N(Me)-CH2COOEt	2	
7- 82	CH2CH2	N-4-COOMe-piperidinyl	0	19F:-44,0;-64,2
7- 83	CH2CH2	N-4-COOMe piperidinyl	1	
7- 84	CH2CH2	N-4-COOMe piperidinyl	2	
7- 85	CH2CH2	N-4-COOEt-piperazinyl	0	19F:-43,8;-64,1
7- 86	CH2CH2	N-4-COOEt-piperazinyl	1	
7- 87	CH2CH2	N-4-COOEt-piperazinyl	2	
7- 88	CH(CH3)CH2	NH2	0	
7- 89	CH(CH3)CH2	NH2	1	
7- 90	CH(CH3)CH2	NH2	2	

Compound	A	R^5	n	mp.°C, NMR(ppm)
7- 91	CH(CH3)CH2	NHMe	0	
7- 92	CH(CH3)CH2	NHMe	1	
7- 93	CH(CH3)CH2	NHMe	2	
7- 94	CH(CH3)CH2	NHEt	0	
7- 95	CH(CH3)CH2	NHEt	1	
7- 96	CH(CH3)CH2	NHEt	2	
7- 97	CH(CH3)CH2	NMe2	0	
7- 98	CH(CH3)CH2	NMe2	1	
7- 99	CH(CH3)CH2	NMe2	2	
7- 100	CH(CH3)CH2	NEt2	0	
7- 101	CH(CH3)CH2	NEt2	1	
7- 102	CH(CH3)CH2	NEt2	2	
7- 103	CH(CH3)CH2	NnPr2	0	
7- 104	CH(CH3)CH2	NnPr2	1	
7- 105	CH(CH3)CH2	NnPr2	2	
7- 106	CH(CH3)CH2	NHnPr	0	
7- 107	CH(CH3)CH2	NHnPr	1	
7- 108	CH(CH3)CH2	NHnPr	2	
7- 109	CH(CH3)CH2	NHnBu	0	
7- 110	CH(CH3)CH2	NHnBu	1	
7- 111	CH(CH3)CH2	NHnBu	2	
7- 112	CH(CH3)CH2	NHCH2Ph	0	
7- 113	CH(CH3)CH2	NHCH2Ph	1	
7- 114	CH(CH3)CH2	NHCH2Ph	2	
7-.115	CH(CH3)CH2	N-pyrrolidinyl	0	
7- 116	CH(CH3)CH2	N-pyrrolidinyl	1	
7- 117	CH(CH3)CH2	N-pyrrolidinyl	2	
7- 118	CH(CH3)CH2	N-piperidinyl	0	
7- 119	CH(CH3)CH2	N-piperidinyl	1	
7- 120	CH(CH3)CH2	N-piperidinyl	2	
7- 121	CH(CH3)CH2	N-morpholinyl	0	
7- 122	CH(CH3)CH2	N-morpholinyl	1	
7- 123	CH(CH3)CH2	N-morpholinyl	2	

Table 8: Compounds of formula (I) in which the substituents have the following meanings:

R^1=CN, R^2 = Cl, W = CR^4 and R^4 = Cl, R^3 = CF_3, R^8 is CF_3, m= 1, R^7 = Me

Compound number	A	R^5	n	mp.°C,NMR(ppm)

Compound	A	R^5		n	mp.°C,NMR(ppm)
8- 01	CH(CH3)	NH2		0	
8- 02	CH(CH3)	NH2		1	
8- 03	CH(CH3)	NH2		2	
8- 04	CH(CH3)	NHMe		0	
8- 05	CH(CH3)	NHMe		1	
8- 06	CH(CH3)	NHMe		2	
8- 07	CH(CH3)	NHEt		0	
8- 09	CH(CH3)	NHEt		1	
8- 09	CH(CH3)	NHEt		2	
8- 10	CH(CH3)	NMe2		0	
8- 11	CH(CH3)	NMe2		1	
8- 12	CH(CH3)	NMe2		2	
8- 13	CH(CH3)	NEt2		0	
8- 14	CH(CH3)	NEt2		1	
8- 15	CH(CH3)	NEt2		2	
8- 16	CH(CH3)	NH-COOtBu		0	
8- 17	CH(CH3)	NH-COOtBu		1	
8- 18	CH(CH3)	NH-COOtBu		2	
8- 19	CH(CH3)	NH-COOCH2Ph		0	
8- 20	CH(CH3)	NH-COOCH2Ph		1	
8- 21	CH(CH3)	NH-COOCH2Ph		2	
8- 22	CH(CH3)	NHCOMe		0	
8- 23	CH(CH3)	NHCOMe		1	
8- 24	CH(CH3)	NHCOMe		2	
8- 25	CH(CH3)	NMe-COOtBu		0	
8- 26	CH(CH3)	NMe-COOtBu		1	
8- 27	CH(CH3)	NMe-COOtBu		2	
8- 28	CH(CH3)	NnPr2		0	
8- 29	CH(CH3)	NnPr2		1	
8- 30	CH(CH3)	NnPr2		2	
8- 31	CH2CH2	NH2		0	
8- 32	CH2CH2	NH2		1	
8- 33	CH2CH2	NH2		2	
8- 34	CH2CH2	NHMe		0	
8- 35	CH2CH2	NHMe		1	
8- 36	CH2CH2	NHMe		2	
8- 37	CH2CH2	NHEt		0	
8- 38	CH2CH2	NHEt		1	
8- 39	CH2CH2	NHEt		2	
8- 40	CH2CH2	NMe2		0	
8- 41	CH2CH2	NMe2		1	
8- 42	CH2CH2	NMe2		2	

Compound	A	R^5	n	mp.°C,NMR(ppm)
8- 43	CH2CH2	NEt2	0	
8- 44	CH2CH2	NEt2	1	
8- 45	CH2CH2	NEt2	2	
8- 46	CH2CH2	NH-COOtBu	0	
8- 47	CH2CH2	NH-COOtBu	1	
8- 48	CH2CH2	NH-COOtBu	2	
8- 49	CH2CH2	NH-COOCH2Ph	0	
8- 50	CH2CH2	NH-COOCH2Ph	1	
8- 51	CH2CH2	NH-COOCH2Ph	2	
8- 52	CH2CH2	NHCOMe	0	
8- 53	CH2CH2	NHCOMe	1	
8- 54	CH2CH2	NHCOMe	2	
8- 55	CH2CH2	NMe-COOtBu	0	
8- 56	CH2CH2	NMe-COOtBu	1	
8- 57	CH2CH2	NMe-COOtBu	2	
8- 58	CH2CH2	NnPr2	0	
8- 59	CH2CH2	NnPr2	1	
8- 60	CH2CH2	NnPr2	2	
8- 61	CH2CH2	NHnPr	0	
8- 62	CH2CH2	NHnPr	1	
8- 63	CH2CH2	NHnPr	2	
8- 64	CH2CH2	NHnBu	0	
8- 65	CH2CH2	NHnBu	1	
8- 66	CH2CH2	NHnBu	2	
8- 67	CH2CH2	NHCH2Ph	0	
8- 68	CH2CH2	NHCH2Ph	1	
8- 69	CH2CH2	NHCH2Ph	2	
8- 70	CH2CH2	N-pyrrolidinyl	0	
8- 71	CH2CH2	N-pyrrolidinyl	1	
8- 72	CH2CH2	N-pyrrolidinyl	2	
8- 73	CH2CH2	N-piperidinyl	0	
8- 74	CH2CH2	N-piperidinyl	1	
8- 75	CH2CH2	N-piperidinyl	2	
8- 76	CH2CH2	N-morpholinyl	0	
8- 77	CH2CH2	N-morpholinyl	1	
8- 78	CH2CH2	N-morpholinyl	2	
8- 79	CH2CH2	N(Me)-CH2COOEt	0	
8- 80	CH2CH2	N(Me)-CH2COOEt	1	
8- 81	CH2CH2	N(Me)-CH2COOEt	2	
8- 82	CH2CH2	N-4-COOMe-piperidinyl	0	
8- 83	CH2CH2	N-4-COOMe-piperidinyl	1	
8- 84	CH2CH2	N-4-COOMe-piperidinyl	2	
8- 85	CH2CH2	N-4-COOEt-piperazinyl	0	

Compound	A	R^5	n	mp.°C,NMR(ppm)
8- 86	CH2CH2	N-4-COOEt-piperazinyl	1	
8- 87	CH2CH2	N-4-COOEt-piperazinyl	2	
8- 88	CH(CH3)CH2	NH2	0	
8- 89	CH(CH3)CH2	NH2	1	
8- 90	CH(CH3)CH2	NH2	2	
8- 91	CH(CH3)CH2	NHMe	0	
8- 92	CH(CH3)CH2	NHMe	1	
8- 93	CH(CH3)CH2	NHMe	2	
8- 94	CH(CH3)CH2	NHEt	0	
8- 95	CH(CH3)CH2	NHEt	1	
8- 96	CH(CH3)CH2	NHEt	2	
8- 97	CH(CH3)CH2	NMe2	0	
8- 98	CH(CH3)CH2	NMe2	1	
8- 99	CH(CH3)CH2	NMe2	2	
8- 100	CH(CH3)CH2	NEt2	0	
8- 101	CH(CH3)CH2	NEt2	1	
8- 102	CH(CH3)CH2	NEt2	2	
8- 103	CH(CH3)CH2	NnPr2	0	
8- 104	CH(CH3)CH2	NnPr2	1	
8- 105	CH(CH3)CH2	NnPr2	2	
8- 106	CH(CH3)CH2	NHnPr	0	
8- 107	CH(CH3)CH2	NHnPr	1	
8- 108	CH(CH3)CH2	NHnPr	2	
8- 109	CH(CH3)CH2	NHnBu	0	
8- 110	CH(CH3)CH2	NHnBu	1	
8- 111	CH(CH3)CH2	NHnBu	2	
8- 112	CH(CH3)CH2	NHCH2Ph	0	
8- 113	CH(CH3)CH2	NHCH2Ph	1	
8- 114	CH(CH3)CH2	NHCH2Ph	2	
8- 115	CH(CH3)CH2	N-pyrrolidinyl	0	
8- 116	CH(CH3)CH2	N-pyrrolidinyl	1	
8- 117	CH(CH3)CH2	N-pyrrolidinyl	2	
8- 118	CH(CH3)CH2	N-piperidinyl	0	
8- 119	CH(CH3)CH2	N-piperidinyl	1	
8- 120	CH(CH3)CH2	N-piperidinyl	2	
8- 121	CH(CH3)CH2	N-morpholinyl	0	
8- 122	CH(CH3)CH2	N-morpholinyl	1	
8- 123	CH(CH3)CH2	N-morpholinyl	2	

According to a further feature of the present invention there is provided a method for the control of pests at a locus which comprises the application of an effective amount

of a compound of formula (I) or a salt thereof. For this purpose, the said compound is normally used in the form of a pesticidal composition (i.e. in association with compatible diluents or carriers and/or surface active agents suitable for use in pesticidal compositions), for example as hereinafter described.

The term "compound of the invention" as used hereinafter embraces a 5-substituted-alkylaminopyrazole of formula (I) as defined above and a pesticidally acceptable salt thereof.

One aspect of the present invention as defined above is a method for the control of pests at a locus. The locus includes, for example, the pest itself, the place (plant, field, forest, orchard, waterway, soil, plant product, or the like) where the pest resides or feeds, or a place susceptible to future infestation by the pest. The compound of the invention may therefore be applied directly to the pest, to the place where the pest resides or feeds, or to the place susceptible to future infestation by the pest. As is evident from the foregoing pesticidal uses, the present invention provides pesticidally active compounds and methods of use of said compounds for the control of a number of pest species which includes: arthropods, especially insects or mites, or plant nematodes. The compound of the invention may thus be advantageously employed in practical uses, for example, in agricultural or horticultural crops, in forestry, in veterinary medicine or livestock husbandry, or in public health. The compounds of the invention may be used for example in the following applications and on the following pests:
For the control of soil insects, such as corn rootworm, termites (especially for protection of structures), root maggots, wireworms, root weevils, stalkborers, cutworms, root aphids, or grubs. They may also be used to provide activity against plant pathogenic nematodes, such as root-knot, cyst, dagger, lesion, or stem or bulb nematodes, or against mites. For the control of soil pests, for example corn rootworm, the compounds are advantageously applied to or incorporated at an effective rate into the soil in which crops are planted or to be planted or to the seeds or growing plant roots.

In the area of public health, the compounds are especially useful in the control of many insects, especially filth flies or other Dipteran pests, such as houseflies, stableflies, soldierflies, hornflies, deerflies, horseflies, midges, punkies, blackflies, or mosquitoes.

In the protection of stored products, for example cereals, including grain or flour, groundnuts, animal feedstuffs, timber or household goods, e.g. carpets and textiles, compounds of the invention are useful against attack by arthropods, more especially beetles, including weevils, moths or mites, for example Ephestia spp. (flour moths), Anthrenus spp. (carpet beetles), Tribolium spp. (flour beetles), Sitophilus spp. (grain weevils) or Acarus spp. (mites).

In the control of cockroaches, ants or termites or similar arthropod pests in infested domestic or industrial premises or in the control of mosquito larvae in waterways, wells, reservoirs or other running or standing water.

For the treatment of foundations, structures or soil in the prevention of the attack on building by termites, for example, Reticulitermes spp., Heterotermes spp., Coptotermes spp..

In agriculture against adults, larvae and eggs of Lepidoptera (butterflies and moths), e.g. Heliothis spp. such as Heliothis virescens (tobacco budworm), Heliothis armigera and Heliothis zea. Against adults and larvae of Coleoptera (beetles) e.g. Anthonomus spp. e.g. grandis (cotton boll weevil), Leptinotarsa decemlineata (Colorado potato beetle), Diabrotica spp. (corn rootworms). Against Heteroptera (Hemiptera and Homoptera) e.g. Psylla spp., Bemisia spp., Trialeurodes spp., Aphis spp., Myzus spp., Megoura viciae, Phylloxera spp., Nephotettix spp. (rice leaf hoppers), Nilaparvata spp..

Against Diptera e.g. Musca spp.. Against Thysanoptera such as Thrips tabaci. Against Orthoptera such as Locusta and Schistocerca spp., (locusts and crickets) e.g. Gryllus spp., and Acheta spp. for example, Blatta orientalis, Periplaneta americana, Blatella germanica, Locusta migratoria migratorioides, and Schistocerca gregaria. Against Collembola e.g. Periplaneta spp. and Blatella spp. (roaches).

Against arthropods of agricultural significance such as Acari (mites) e.g. Tetranychus spp., and Panonychus spp..

Against nematodes which attack plants or trees of importance to agriculture, forestry or horticulture either directly or by spreading bacterial, viral, mycoplasma or fungal diseases of the plants. For example root-knot nematodes such as Meloidogyne spp. (e.g. M. incognita).

In the field of veterinary medicine or livestock husbandry or in the maintenance of public health against arthropods which are parasitic internally or externally upon vertebrates, particularly warm-blooded vertebrates, for example domestic animals, e.g. cattle, sheep, goats, equines, swine, poultry, dogs or cats, for example Acarina, including ticks (e.g. sot-bodied ticks including Argasidae spp. e.g. Argas spp. and Ornithodorus spp. (e.g. Ornithodorus moubata); hard-bodied ticks including Ixodidae spp., e.g. Boophilus spp. e.g. Boophilus microplus, Rhipicephalus spp. e.g. Rhipicephalus appendiculatus and Rhipicephalus sanguineus; mites (e.g. Damalinia spp.); fleas (e.g. Ctenocephalides spp. e.g. Ctenocephalides felis (cat flea) and Ctenocephalides canis (dog flea)); lice e.g. Menopon spp.; Diptera (e.g. Aedes spp., Anopheles spp., Musca spp., Hypoderma spp.); Hemiptera.; Dictyoptera (e.g. Periplaneta spp., Blatella spp.); Hymenoptera; for example against infections of the gastro-intestinal tract caused by parasitic nematode worms, for example members of the family Trichostrongylidae.

In a preferred aspect of the invention the compounds of formula (I) are used for the control of parasites of animals. Preferably the animal to be treated is a domestic companion animal such as a dog or a cat.

In a further aspect of the invention the compounds of formula (I) or salts or compositions thereof are used for the preparation of a veterinary medicament.

In practical use for the control of arthropods, especially insects or mites, or nematode pests of plants, a method, for example, comprises applying to the plants or to the medium in which they grow an effective amount of a compound of the invention. For such a method, the compound of the invention is generally applied to the locus in which the arthropod or nematode infestation is to be controlled at an effective rate in the range of about 2g to about 1kg of the active compound per

hectare of locus treated. Under ideal conditions, depending on the pest to be controlled, a lower rate may offer adequate protection. On the other hand, adverse weather conditions, resistance of the pest or other factors may require that the active ingredient be used at higher rates. The optimum rate depends usually upon a number of factors, for example, the type of pest being controlled, the type or the growth stage of the infested plant, the row spacing or also the method of application. Preferably an effective rate range of the active compound is from about 10g/ha to about 400g/ha, more preferably from about 50g/ha to about 200 g/ha.

When a pest is soil-borne, the active compound generally in a formulated composition, is distributed evenly over the area to be treated (ie, for example broadcast or band treatment) in any convenient manner and is applied at rates from about 10g/ha to about 400g ai/ha, preferably from about 50g/ha to about 200 g ai/ha. When applied as a root dip to seedlings or drip irrigation to plants the liquid solution or suspension contains from about 0.075 to about 1000 mg ai/l, preferably from about 25 to about 200 mg ai/l. Application may be made, if desired, to the field or crop-growing area generally or in close proximity to the seed or plant to be protected from attack. The compound of the invention can be washed into the soil by spraying with water over the area or can be left to the natural action of rainfall. During or after application, the formulated compound can, if desired, be distributed mechanically in the soil, for example by ploughing, disking, or use of drag chains. Application can be prior to planting, at planting, after planting but before sprouting has taken place, or after sprouting.

The compound of the invention and methods of control of pests therewith are of particular value in the protection of field, forage, plantation, glasshouse, orchard or vineyard crops, of ornamentals, or of plantation or forest trees, for example: cereals (such as wheat or rice), cotton, vegetables (such as peppers), field crops (such as sugar beets, soybeans or oil seed rape), grassland or forage crops (such as maize or sorghum), orchards or groves (such as of stone or pit fruit or citrus), ornamental plants, flowers or vegetables or shrubs under glass or in gardens or parks, or forest trees (both deciduous and evergreen) in forests, plantations or nurseries.

They are also valuable in the protection of timber (standing, felled, converted, stored or structural) from attack, for example, by sawflies or beetles or termites.

They have applications in the protection of stored products such as grains, fruits, nuts, spices or tobacco, whether whole, milled or compounded into products, from moth, beetle, mite or grain weevil attack. Also protected are stored animal products such as skins, hair, wool or feathers in natural or converted form (e.g. as carpets or textiles) from moth or beetle attack as well as stored meat, fish or grains from beetle, mite or fly attack.

Additionally, the compound of the invention and methods of use thereof are of particular value in the control of arthropods or helminths which are injurious to, or spread or act as vectors of diseases domestic animals, for example those hereinbefore mentioned, and more especially in the control of ticks, mites, lice, fleas, midges, or biting, nuisance or mylasis flies. The compounds of the invention are particularly useful in controlling arthropods or helminths which are present inside domestic host animals or which feed in or on the skin or suck the blood of the animal, for which purpose they may be administered orally, parenterally, percutaneously or topically.

The compositions hereinafter described for application to growing crops or crop growing loci or as a seed dressing may, in general, alternatively be employed in the protection of stored products, household goods, property or areas of the general environment. Suitable means of applying the compounds of the invention include:

to growing crops as foliar sprays (for example as an in-furrow spray), dusts, granules, fogs or foams or also as suspensions of finely divided or encapsulated compositions as soil or root treatments by liquid drenches, dusts, granules, smokes or foams; to seeds of crops via application as seed dressings by liquid slurries or dusts;

to animals infested by or exposed to infestation by arthropods or helminths, by parenteral, oral or topical application of compositions in which the active ingredient exhibits an immediate and/or prolonged action over a period of time against the arthropods or helminths, for example by incorporation in feed or suitable orally-ingestible pharmaceutical formulations, edible baits, salt licks, dietary supplements, pour-on formulations, sprays, baths, dips, showers, jets, dusts, greases, shampoos, creams, wax smears or livestock self-treatment systems;

to the environment in general or to specific locations where pests may lurk, including stored products, timber, household goods, or domestic or industrial premises, as sprays, fogs, dusts, smokes, wax-smears, lacquers, granules or baits, or in tricklefeeds to waterways, wells, reservoirs or other running or standing water.

The compounds of formula (I) are particularly useful for the control of parasites of animals when applied orally, and in a further preferred aspect of the invention the compounds of formula (I) are used for the control of parasites of animals by oral application. The compounds of the formula (I) or salts thereof may be administered before, during or after meals. The compounds of the formula (I) or salts thereof may be mixed with a carrier and/or foodstuff.

The compound of the formula (I) or salt thereof is administered orally in a dose to the animal in a dose range generally from 0.1 to 500 mg/kg of the compound of the formula (I) or salt thereof per kilogram of animal body weight (mg/kg).

The frequency of treatment of the animal, preferably the domestic animal to be treated by the compound of the formula (I) or salt thereof is generally from about once per week to about once per year, preferably from about once every two weeks to once every three months.

The compounds of the invention may be administered most advantageously with another parasiticidally effective material, such as an endoparasiticide, and/or an ectoparasiticide, and/or an endectoparasiticide. For example, such compounds include macrocyclic lactones such as avermectins or milbemycins e.g., ivermectin, pyratel or an insect growth regulator such as lufenuron or methoprene.

The compounds of the formula (I) can also be employed for controlling harmful organisms in crops of known genetically engineered plants or genetically engineered plants yet to be developed. As a rule, the transgenic plants are distinguished by especially advantageous properties, for example by resistances to particular crop protection agents, resistances to plant diseases or pathogens of plant diseases, such as particular insects or microorganisms such as fungi, bacteria or viruses. Other particular properties concern, for example, the harvested material with regard

to quantity, quality, storage properties, composition and specific constituents. Thus, transgenic plants are known where the starch content is increased, or the starch quality is altered, or where the harvested material has a different fatty acid composition.

The use in economically important transgenic crops of useful plants and ornamentals is preferred, for example of cereals such as wheat, barley, rye, oats, millet, rice, cassava and maize or else crops of sugar beet, cotton, soya, oilseed rape, potatoes, tomatoes, peas and other types of vegetables.

When used in transgenic crops, in particular those which have resistances to insects, effects are frequently observed, in addition to the effects against harmful organisms to be observed in other crops, which are specific for application in the transgenic crop in question, for example an altered or specifically widened spectrum of pests which can be controlled, or altered application rates which may be employed for application.

The invention therefore also relates to the use of compounds of the formula (I) for controlling harmful organisms in transgenic crop plants.

According to a further feature of the present invention there is provided a pesticidal composition comprising one or more compounds of the invention as defined above, in association with, and preferably homogeneously dispersed in one or more compatible pesticidally acceptable diluents or carriers and/or surface active agents [i.e. diluents or carriers and/or surface active agents of the type generally accepted in the art as being suitable for use in pesticidal compositions and which are compatible with compounds of the invention].
In practice, the compounds of the invention most frequently form parts of compositions. These compositions can be employed to control arthropods, especially insects, or plant nematodes or mites. The compositions may be of any type known in the art suitable for application to the desired pest in any premises or indoor or outdoor area. These compositions contain at least one compound of the

invention as the active ingredient in combination or association with one or more other compatible components which are for example, solid or liquid carriers or diluents, adjuvants, surface-active-agents, or the like appropriate for the intended use and which are agronomically or medicinally acceptable. These compositions, which may be prepared by any manner known in the art, likewise form a part of this invention.

The compounds of the invention, in their commercially available formulations and in the use forms prepared from these formulations may be present in mixtures with other active substances such as insecticides, attractants, sterilants, acaricides, nematicides, fungicides, growth regulatory substances or herbicides.

The pesticides include, for example, phosphoric esters, carbamates, carboxylic esters, formamidines, tin compounds and materials produced by microorganisms.

Preferred components in mixtures are:

1. from the group of the phosphorus compounds
acephate, azamethiphos, azinphos-ethyl, azinphos-methyl, bromophos, bromophos-ethyl, cadusafos (F-67825), chlorethoxyphos, chlorfenvinphos, chlormephos, chlorpyrifos, chlorpyrifos-methyl, demeton, demeton-S-methyl, demeton-S-methyl sulfone, dialifos, diazinon, dichlorvos, dicrotophos, dimethoate, disulfoton, EPN, ethion, ethoprophos, etrimfos, famphur, fenamiphos, fenitrothion, fensulfothion, fenthion, flupyrazofos, fonofos, formothion, fosthiazate, heptenophos, isazophos, isothioate, isoxathion, malathion, methacrifos, methamidophos, methidathion, salithion, mevinphos, monocrotophos, naled, omethoate, oxydemeton-methyl, parathion, parathion-methyl, phenthoate, phorate, phosalone, phosfolan, phosphocarb (BAS-301), phosmet, phosphamidon, phoxim, pirimiphos, pirimiphos-ethyl, pirimiphos-methyl, profenofos, propaphos, proetamphos, prothiofos, pyraclofos, pyridapenthion, quinalphos, sulprofos, temephos, terbufos, tebupirimfos, tetrachlorvinphos, thiometon, triazophos, trichlorphon, vamidothion;

2. from the group of the carbamates

alanycarb (OK-135), aldicarb, 2-sec-butylphenyl methylcarbamate (BPMC), carbaryl, carbofuran, carbosulfan, cloethocarb, benfuracarb, ethiofencarb, furathiocarb, HCN-801, isoprocarb, methomyl, 5-methyl-m-cumenylbutyryl (methyl)carbamate, oxamyl, pirimicarb, propoxur, thiodicarb, thiofanox, 1-methylthio(ethylideneamino)-N-methyl-N-(morpholinothio)carbamate (UC 51717), triazamate;

3. from the group of the carboxylic esters
acrinathrin, allethrin, alphametrin, 5-benzyl-3-furylmethyl (E)- (1R)-cis-2,2-dimethyl-3-(2-oxothiolan-3-ylidenemethyl)cyclopropanecarboxylate, beta-cyfluthrin, alpha-cypermethrin, beta-cypermethrin, bioallethrin, bioallethrin ((S)-cyclopentylisomer), bioresmethrin, bifenthrin, (RS)-1-cyano-1-(6-phenoxy-2-pyridyl)methyl (1RS)-trans-3-(4-tert-butylphenyl)-2,2-dimethylcyclopropanecarboxylate (NCI 85193), cycloprothrin, cyfluthrin, cyhalothrin, cythithrin, cypermethrin, cyphenothrin, deltamethrin, empenthrin, esfenvalerate, fenfluthrin, fenpropathrin, fenvalerate, flucythrinate, flumethrin, fluvalinate (D isomer), imiprothrin (S-41311), lambda-cyhalothrin, permethrin, phenothrin (® isomer), prallethrin, pyrethrins (natural products), resmethrin, tefluthrin, tetramethrin, theta-cypermethrin, tralomethrin, transfluthrin, zeta-cypermethrin (F-56701);

4. from the group of the amidines
amitraz, chlordimeform;

5. from the group of the tin compounds
cyhexatin, fenbutatin oxide;

6. others
abamectin, ABG-9008, acetamiprid, acequinocyl, Anagrapha falcitera, AKD-1022, AKD-3059, ANS-118, azadirachtin, Bacillus thuringiensis, Beauveria bassiana, bensultap, bifenazate, binapacryl, BJL-932, bromopropylate, BTG-504, BTG-505, buprofezin, camphechlor, cartap, chlorobenzilate, chlorfenapyr, chlorfluazuron, 2-(4-chlorophenyl)-4,5-diphenylthiophene (UBI-T 930), chlorfentezine, chlorproxyfen, chromafenozide, clothianidine, 2-naphthylmethyl cyclopropanecarboxylate (Ro12-

0470), cyromazin, diacloden (thiamethoxam), diafenthiuron, DBI-3204, ethyl 2-chloro-N-(3,5-dichloro-4-(1,1,2,3,3,3-hexafluoro-1-propyloxy)phenyl)carbamoyl)-2-carboximidate, DDT, dicofol, diflubenzuron, N-(2,3-dihydro-3-methyl-1,3-thiazol-2-ylidene)-2,4-xylidine, dihydroxymethyldihydroxypyrrolidine, dinobuton, dinocap, diofenolan, emamectin benzoate, endosulfan, ethiprole (sulfethiprole), ethofenprox, etoxazole, fenazaquin, fenoxycarb, fipronil, fluazuron, flumite (flufenzine, SZI-121), 2-fluoro-5-(4-(4-ethoxyphenyl)-4-methyl-1-pentyl)diphenyl ether (MTI 800), granulosis and nuclear polyhedrosis viruses, fenpyroximate, fenthiocarb, fluacrypyrim, flubenzimine, flubrocythrinate, flucycloxuron, flufenoxuron, flufenzine, flufenprox, fluproxyfen, gamma-HCH, halfenozide, halofenprox, hexaflumuron (DE_473), hexythiazox, HOI-9004, hydramethylnon (AC 217300), IKI-220, indoxacarb, ivermectin, L-14165, imidacloprid, indoxacarb (DPX-MP062), kanemite (AKD-2023), lufenuron, M-020, M-020, methoxyfenozide, milbemectin, NC-196, neemgard, nidinoterfuran, nitenpyram, 2-nitromethyl-4,5-dihydro-6H-thiazine (DS 52618), 2-nitromethyl-3,4-dihydrothiazole (SD 35651), 2-nitromethylene-1,2-thiazinan-3-ylcarbamaldehyde (WL 108477), novaluron, pirydaryl, propargite, protrifenbute, pymethrozine, pyridaben, pyrimidifen, pyriproxyfen, NC-196, NC-1111, NNI-9768, novaluron (MCW-275), OK-9701, OK-9601, OK-9602, OK-9802, R-195, RH-0345, RH-2485, RYI-210, S-1283, S-1833, SI-8601, silafluofen, silomadine (CG-177), spinosad, spirodiclofen, SU-9118, tebufenozide, tebufenpyrad, teflubenzuron, tetradifon, tetrasul, thiacloprid, thiocyclam, thiamethoxam, tolfenpyrad, triazamate, triethoxyspinosyn A, triflumuron, verbutin, vertalec (mykotal), YI-5301.

The abovementioned components for combinations are known active substances, many of which are described in Ch.R Worthing, S.B. Walker, The Pesticide Manual, 12th Edition, British Crop Protection Council, Farnham 2000.

The effective use doses of the compounds employed in the invention can vary within wide limits, particularly depending on the nature of the pest to be eliminated or degree of infestation, for example, of crops with these pests. In general, the compositions according to the invention usually contain about 0.05 to about 95% (by weight) of one or more active ingredients according to the invention, about 1 to about

95% of one or more solid or liquid carriers and, optionally, about 0.1 to about 50% of one or more other compatible components, such as surface-active agents or the like. In the present account, the term "carrier" denotes an organic or inorganic ingredient, natural or synthetic, with which the active ingredient is combined to facilitate its application, for example, to the plant, to seeds or to the soil. This carrier is therefore generally inert and it must be acceptable (for example, agronomically acceptable, particularly to the treated plant).

The carrier may be a solid, for example, clays, natural or synthetic silicates, silica, resins, waxes, solid fertilizers (for example ammonium salts), ground natural minerals, such as kaolins, clays, talc, chalk, quartz, attapulgite, montmorillonite, bentonite or diatomaceous earth, or ground synthetic minerals, such as silica, alumina, or silicates especially aluminium or magnesium silicates. As solid carriers for granules the following are suitable: crushed or fractionated natural rocks such as calcite, marble, pumice, sepiolite and dolomite; synthetic granules of inorganic or organic meals; granules of organic material such as sawdust, coconut shells, corn cobs, corn husks or tobacco stalks; kieselguhr, tricalcium phosphate, powdered cork, or absorbent carbon black; water soluble polymers, resins, waxes; or solid fertilizers. Such solid compositions may, if desired, contain one or more compatible wetting, dispersing, emulsifying or colouring agents which, when solid, may also serve as a diluent.

The carrier may also be liquid, for example: water; alcohols, particularly butanol or glycol, as well as their ethers or esters, particularly methylglycol acetate; ketones, particularly acetone, cyclohexanone, methylethyl ketone, methylisobutylketone, or isophorone; petroleum fractions such as paraffinic or aromatic hydrocarbons, particularly xylenes or alkyl naphthalenes; mineral or vegetable oils; aliphatic chlorinated hydrocarbons, particularly trichloroethane or methylene chloride; aromatic chlorinated hydrocarbons, particularly chlorobenzenes; water-soluble or strongly polar solvents such as dimethylformamide, dimethyl sulphoxide, or N-methylpyrrolidone; liquefied gases; or the like or a mixture thereof.

The surface-active agent may be an emulsifying agent, dispersing agent or wetting agent of the ionic or non-ionic type or a mixture of such surface-active agents. Amongst these are e.g., salts of polyacrylic acids, salts of lignosulphonic acids, salts

of phenolsulphonic or naphthalenesulphonic acids, polycondensates of ethylene oxide with fatty alcohols or fatty acids or fatty esters or fatty amines, substituted phenols (particularly alkylphenols or arylphenols), salts of sulphosuccinic acid esters, taurine derivatives (particularly alkyltaurates), phosphoric esters of alcohols or of polycondensates of ethylene oxide with phenols, esters of fatty acids with polyols, or sulphate, sulphonate or phosphate functional derivatives of the above compounds. The presence of at least one surface-active agent is generally essential when the active ingredient and/or the inert carrier are only slightly water soluble or are not water soluble and the carrier agent of the composition for application is water.

Compositions of the invention may further contain other additives such as adhesives or colorants. Adhesives such as carboxymethylcellulose or natural or synthetic polymers in the form of powders, granules or lattices, such as arabic gum, polyvinyl alcohol or polyvinyl acetate, natural phospholipids, such as cephalins or lecithins, or synthetic phospholipids can be used in the formulations. It is possible to use colorants such as inorganic pigments, for example: iron oxides, titanium oxides or Prussian Blue; organic dyestuffs, such as alizarin dyestuffs, azo dyestuffs or metal phthalocyanine dyestuffs; or trace nutrients such as salts of iron, manganese, boron, copper, cobalt, molybdenum or zinc.

For their agricultural application, the compounds of the invention are therefore generally in the form of compositions, which are in various solid or liquid forms.

Solid forms of compositions which can be used are dusting powders (with a content of the compound of the invention, ranging up to 80%), wettable powders or granules (including water dispersible granules), particularly those obtained by extrusion, compacting, impregnation of a granular carrier, or granulation starting from a powder (the content of the compound of the invention, in these wettable powders or granules being between about 0.5 and about 80%). Solid homogenous or heterogenous compositions containing one or more compounds of the invention, for example granules, pellets, briquettes or capsules, may be used to treat standing or running water over a period of time. A similar effect may be achieved using trickle or intermittent feeds of water dispersible concentrates as described herein.

Liquid compositions, for example, include aqueous or non-aqueous solutions or suspensions (such as emulsifiable concentrates, emulsions, flowables, dispersions,

or solutions) or aerosols. Liquid compositions also include, in particular, emulsifiable concentrates, dispersions, emulsions, flowables, aerosols, wettable powders (or powder for spraying), dry flowables or pastes as forms of compositions which are liquid or intended to form liquid compositions when applied, for example as aqueous sprays (including low and ultra-low volume) or as fogs or aerosols.

Liquid compositions, for example, in the form of emulsifiable or soluble concentrates most frequently comprise about 5 to about 80% by weight of the active ingredient, while the emulsions or solutions which are ready for application contain, in their case, about 0.01 to about 20% of the active ingredient. Besides the solvent, the emulsifiable or soluble concentrates may contain, when required, about 2 to about 50% of suitable additives, such as stabilizers, surface-active agents, penetrating agents, corrosion inhibitors, colorants or adhesives. Emulsions of any required concentration, which are particularly suitable for application, for example, to plants, may be obtained from these concentrates by dilution with water. These compositions are included within the scope of the compositions which may be employed in the present invention. The emulsions may be in the form of water-in-oil or oil-in-water type and they may have a thick consistency.

The liquid compositions of this invention may, in addition to normal agricultural use applications be used for example to treat substrates or sites infested or liable to infestation by arthropods (or other pests controlled by compounds of this invention) including premises, outdoor or indoor storage or processing areas, containers or equipment or standing or running water.

All these aqueous dispersions or emulsions or spraying mixtures can be applied, for example, to crops by any suitable means, chiefly by spraying, at rates which are generally of the order of about 100 to about 1,200 liters of spraying mixture per hectare, but may be higher or lower (eg. low or ultra-low volume) depending upon the need or application technique. The compound or compositions according to the invention are conveniently applied to vegetation and in particular to roots or leaves having pests to be eliminated. Another method of application of the compounds or compositions according to the invention is by chemigation, that is to say, the addition of a formulation containing the active ingredient to irrigation water. This irrigation

may be sprinkler irrigation for foliar pesticides or it can be ground irrigation or underground irrigation for soil or for systemic pesticides.

The concentrated suspensions, which can be applied by spraying, are prepared so as to produce a stable fluid product which does not settle (fine grinding) and usually contain from about 10 to about 75% by weight of active ingredient, from about 0.5 to about 30% of surface-active agents, from about 0.1 to about 10% of thixotropic agents, from about 0 to about 30% of suitable additives, such as anti-foaming agents, corrosion inhibitors, stabilizers, penetrating agents, adhesives and, as the carrier, water or an organic liquid in which the active ingredient is poorly soluble or insoluble. Some organic solids or inorganic salts may be dissolved in the carrier to help prevent settling or as antifreezes for water.

The wettable powers (or powder for spraying) are usually prepared so that they contain from about 10 to about 80% by weight of active ingredient, from about 20 to about 90% of a solid carrier, from about 0 to about 5% of a wetting agent, from about 3 to about 10% of a dispersing agent and, when necessary, from about 0 to about 80% of one or more stabilizers and/or other additives, such as penetrating agents, adhesives, anti-caking agents, colorants, or the like. To obtain these wettable powders, the active ingredient is thoroughly mixed in a suitable blender with additional substances which may be impregnated on the porous filler and is ground using a mill or other suitable grinder. This produces wettable powders, the wettability and the suspendability of which are advantageous. They may be suspended in water to give any desired concentration and this suspension can be employed very advantageously in particular for application to plant foliage.

The "water dispersible granules (WG)" (granules which are readily dispersible in water) have compositions which are substantially close to that of the wettable powders. They may be prepared by granulation of formulations described for the wettable powders, either by a wet route (contacting finely divided active ingredient with the inert filler and a little water, e.g. 1 to 20% by weight, or with an aqueous solution of a dispersing agent or binder, followed by drying and screening), or by a dry route (compacting followed by grinding and screening).

The rates and concentrations of the formulated compositions may vary according to the method of application or the nature of the compositions or use thereof. Generally

speaking, the compositions for application to control arthropod or plant nematode pests usually contain from about 0.00001% to about 95%, more particularly from about 0.0005% to about 50% by weight of one or more compounds of the invention, or of total active ingredients (that is to say the compounds of the invention, together with other substances toxic to arthropods or plant nematodes, synergists, trace elements or stabilizers). The actual compositions employed and their rate of application will be selected to achieve the desired effect(s) by the farmer, livestock producer, medical or veterinary practitioner, pest control operator or other person skilled in the art.

Solid or liquid compositions for application topically to animals, timber, stored products or household goods usually contain from about 0.00005% to about 90%, more particularly from about 0.001% to about 10%, by weight of one or more compounds of the invention. For administration to animals orally or parenterally, including percutaneously solid or liquid compositions, these normally contain from about 0.1% to about 90% by weight of one or more compounds of the invention. Medicated feedstuffs normally contain from about 0.001% to about 3% by weight of one or more compounds of the invention. Concentrates or supplements for mixing with feedstuffs normally contain from about 5% to about 90%, preferably from about 5% to about 50%, by weight of one or more compounds of the invention. Mineral salt licks normally contain from about 0.1% to about 10% by weight of one or more compounds of formula (I) or pesticidally acceptable salts thereof.

Dusts or liquid compositions for application to livestock, goods, premises or outdoor areas may contain from about 0.0001% to about 15%, more especially from about 0.005% to about 2.0%, by weight, of one or more compounds of the invention. Suitable concentrations in treated waters are between about 0.0001 ppm and about 20 ppm, more particularly about 0.001 ppm to about 5.0 ppm, of one or more compounds of the invention, and may be used therapeutically in fish farming with appropriate exposure times. Edible baits may contain from about 0.01% to about 5%, preferably from about 0.01% to about 1.0%, by weight, of one or more compounds of the invention.

When administered to vertebrates parenterally, orally or by percutaneous or other means, the dosage of compounds of the invention, will depend upon the species,

age, or health of the vertebrate and upon the nature and degree of its actual or potential infestation by arthropod or helminth pests. A single dose of about 0.1 to about 100 mg, preferably about 2.0 to about 20.0 mg, per kg body weight of the animal or doses of about 0.01 to about 20.0 mg, preferably about 0.1 to about 5.0 mg, per kg body weight of the animal per day, for sustained medication, are generally suitable by oral or parenteral administration. By use of sustained release formulations or devices, the daily doses required over a period of months may be combined and administered to animals on a single occasion.

The following composition EXAMPLES 2A - 2M illustrate compositions for use against arthropods, especially mites or insects, or plant nematodes, which comprise, as active ingredient, compounds of the invention, such as those described in preparative examples. The compositions described in EXAMPLES 2A - 2M can each be diluted to give a sprayable compositon at concentrations suitable for use in the field. Generic chemical descriptions of the ingredients (for which all of the following percentages are in weight percent), used in the composition EXAMPLES 2A - 2M exemplified below, are as follows:

Trade Name	Chemical Description
Ethylan BCP	Nonylphenol ethylene oxide condensate
Soprophor BSU	Tristyrylphenol ethylene oxide condensate
Arylan CA	A 70% w/v solution of calcium dodecylbenzenesulfonate
Solvesso 150	Light C_{10} aromatic solvent
Arylan S	Sodium dodecylbenzenesulfonate
Darvan NO_2	Sodium lignosulphonate
Celite PF	Synthetic magnesium silicate carrier
Sopropon T36	Sodium salts of polycarboxylic acids
Rhodigel 23	Polysaccharide xanthan gum
Bentone 38	Organic derivative of magnesium montmorillonite
Aerosil	Microfine silicon dioxide

EXAMPLE 2A

A water soluble concentrate is prepared with the composition as follows:

Active ingredient	7%
Ethylan BCP	10%
N-methylpyrrolidone	83%

To a solution of Ethylan BCP dissolved in a portion of N-methylpyrrolidone is added the active ingredient with heating and stirring until dissolved. The resulting solution is made up to volume with the remainder of the solvent.

EXAMPLE 2B

An emulsifiable concentrate (EC) is prepared with the composition as follows:

Active ingredient	25%(max)
Soprophor BSU	10%
Arylan CA	5%
N-methylpyrrolidone	50%
Solvesso 150	10%

The first three components are dissolved in N-methylpyrrolidone and to this is then added the Solvesso 150 to give the final volume.

EXAMPLE 2C

A wettable powder (WP) is prepared with the composition as follows:

Active ingredient	40%
Arylan S	2%
Darvan NO_2	5%
Celite PF	53%

The ingredients are mixed and ground in a hammer-mill to a powder with a particle size of less than 50 microns.

EXAMPLE 2D

An aqueous-flowable formulation is prepared with the composition as follows:

Active ingredient	40.00%
Ethylan BCP	1.00%
Sopropon T360.	0.20%
Ethylene glycol	5.00%
Rhodigel 230.	0.15%
Water	53.65%

The ingredients are intimately mixed and are ground in a bead mill until a mean particle size of less than 3 microns is obtained.

EXAMPLE 2E

An emulsifiable suspension concentrate is prepared with the composition as follows:

Active ingredient	30.0%
Ethylan BCP	10.0%
Bentone 38	0.5%
Solvesso 150	59.5%

The ingredients are intimately mixed and ground in a beadmill until a mean particle size of less than 3 microns is obtained.

EXAMPLE 2F

A water dispersible granule is prepared with the composition as follows:

Active Ingredient	30%
Darvan No 2	15%
Arylan S	8%
Celite PF	47%

The ingredients are mixed, micronized in a fluid-energy mill and then granulated in a rotating pelletizer by spraying with water (up to 10%). The resulting granules are dried in a fluid-bed drier to remove excess water.

EXAMPLE 2G

A dusting powder is prepared with the composition as follows:

Active ingredient	1 to 10%
Talc powder-superfine	99 to 90%

The ingredients are intimately mixed and further ground as necessary to achieve a fine powder. This powder may be appplied to a locus of arthropod infestation, for example refuse dumps, stored products or household goods or animals infested by, or at risk of infestation by, arthropods to control the arthropods by oral ingestion. Suitable means for distributing the dusting powder to the locus of arthropod infestation include mechanical blowers, handshakers or livestock self treatment devices.

EXAMPLE 2H

An edible bait is prepared with the composition as follows:

Active ingredient	0.1 to 1.0%
Wheat flour	80%
Molasses	19.9 to 19%

The ingredients are intimately mixed and formed as required into a bait form. This edible bait may be distributed at a locus, for example domestic or industrial premises, e.g. kitchens, hospitals or stores, or outdoor areas, infested by arthropods, for example ants, locusts, cockroaches or flies, to control the arthropods by oral ingestion.

EXAMPLE 2I

A solution formulation is prepared with a composition as follows:

Active ingredient	15%
Dimethyl sulfoxide	85%

The active ingredient is dissolved in dimethyl sulfoxide with mixing and or heating as required. This solution may be applied percutaneously as a pour-on application to domestic animals infested by arthropods or, after sterilization by filtration through a polytetrafluoroethylene membrane (0.22 micrometer pore size), by parenteral injection, at a rate of application of from 1.2 to 12 ml of solution per 100 kg of animal body weight.

EXAMPLE 2J

A wettable powder is prepared with the composition as follows:

Active ingredient	50%
Ethylan BCP	5%
Aerosil	5%
Celite PF	40%

The Ethylan BCP is absorbed onto the Aerosil which is then mixed with the other ingredients and ground in a hammer-mill to give a wettable powder, which may be diluted with water to a concentration of from 0.001% to 2% by weight of the active compound and applied to a locus of infestation by arthropods, for example, dipterous larvae or plant nematodes, by spraying, or to domestic animals infested by, or at risk of infection by arthropods, by spraying or dipping, or by oral administration in drinking water, to control the arthropods.

EXAMPLE 2K

A slow release bolus composition is formed from granules containing the following components in varying percentages(similar to those described for the previous compositions) depending upon need:

Active ingredient
Density agent
Slow-release agent
Binder

The intimately mixed ingredients are formed into granules which are compressed into a bolus with a specific gravity of 2 or more. This can be administered orally to ruminant domestic animals for retention within the reticulo-rumen to give a continual slow release of active compound over an extended period of time to control infestation of the ruminant domestic animals by arthropods.

EXAMPLE 2L

A slow release composition in the form of granules, pellets, brickettes or the like can be prepared with compositions as follows:

Active ingredient 0.5 to 25%
Polyvinyl chloride 75 to 99.5%
Dioctyl phthalate (plasticizer)

The components are blended and then formed into suitable shapes by melt-extrusion or molding. These composition are useful, for example, for addition to standing water or for fabrication into collars or eartags for attachment to domestic animals to control pests by slow release.

EXAMPLE 2M

A water dispersible granule is prepared with the composition as follows:

Active ingredient	85%(max)
Polyvinylpyrrolidone	5%
Attapulgite clay	6%
Sodium lauryl sulfate	2%
Glycerine	2%

The ingredients are mixed as a 45% slurry with water and wet milled to a particle size of 4 microns, then spray-dried to remove water.

METHODS OF PESTICIDAL USE

The following representative test procedures, using compounds of the invention, were conducted to determine the parasiticidal and pesticidal activity of compounds of the invention.

METHOD A: Screening method to test systemicity of compounds against Ctenocephalides felis (Cat flea)

A test container was filled with 10 adults of Ctenocephalides felis. A glass cylinder was closed on one end with parafilm and placed on top of the test container. The test compound solution was then pipetted into bovine blood and added to the glass cylinder. The treated Ctenocephalides felis were held in this artificial dog test (blood 37 °C, 40-60 % relative humidity; Ctenocephalides felis 20-22°C, 40-60 % relative humidity) and assessment performed at 24 and 48 hours after application. Compound numbers 2-22, 3-04, 3-35, 4-20, 5-95, 5-113, 7-13, 7-34, 7-40, 7-73, 7-85, 7-79 gave at least 90% control of Ctenocephalides felis at a test concentration of 5ppm or less.

METHOD B: Diabrotica undecimpunctata (southern corn rootworm) screen

Two days before application, seeds of maize were soaked in water under warm conditions to elicit fast germination. One day before application, eggs of Diabrotica undecimpunctata were transferred to one half of a Japanese filter paper placed in a plastic petri dish. Afterwards, a sprouted maize seed was placed on a moistened pad beside the filter paper. Three drops of 200 microlitres of test compound solution were carefully pipetted onto the egg. The remainder of the solution was placed on the maize and then the Petri dish was closed. The treated eggs in the Petri dishes were held in a climate chamber for 6 days. The compound efficacy (percentage of dead eggs and/or larvae in comparison to untreated control) was assessed 6 days after application using a binocular microscope.

Compound numbers 1-02, 1-05, 1-16, 1-20, 1-88, 3-20 and 3-35 gave at least 90% control of Diabrotica undecimpunctata at a test concentration of 10ppm.

METHOD C: Nephotettix Cincicеps (rice leafhopper) screen

The leaves of 12 rice plants having a stem length of 8 cm were dipped for 5 seconds into an aqueous solution of the formulated test compound. After the solution had run off, the rice plants treated in this manner were placed in a Petri dish and populated with about 20 larvae (L3 stage) of Nephotettix cincticeps. The Petri dish was closed and then stored in a climate chamber (16 hours of light/day, 25°C, 40-60% relative humidity). After 6 days storage, the percentage mortality of leafhopper larvae was determined.

Compound number 1-20 gave at least 98% mortality of Nephotettix cincicеps larvae at a test concentration of 100 ppm.

METHOD D: Screening method to test contact activity against Ctenocephalides felis (Cat flea)

Solutions of the test compounds were dropped onto filter paper, dried and the filter paper placed into test tubes and infested with 10 adults of Ctenocephalides felis. The treated Ctenocephalides felis were held in a climate chamber (26°C, 80% RH) and the percentage efficacy assessed 24 hours and 48 hours after application in comparison with the untreated control.

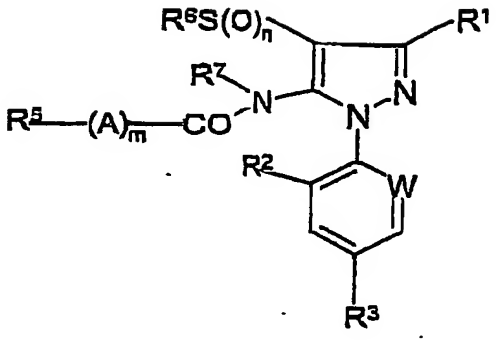
Compound numbers 3-35, 7-13, 7-34 gave at least 70% contact control of Ctenocephalides felis at a test concentration of 1000 ppm.

METHOD E: Screening method to test contact activity against Rhipicephalus sanguineus (Brown dog tick)

Solutions of the test compounds were dropped onto filter paper, dried and the filter paper placed into test tubes and infested with 20-30 larvae (L1) of Rhipicephalus sanguineus and the tubes closed with a clip. The treated Rhipicephalus sanguineus were held in a climate chamber (25°C, 90% RH) and the percentage efficacy assessed 24 hours after application in comparison with the untreated control. Compound numbers 3-04, 3-35, 7-13, 7-34 gave at least 70% contact control of Rhipicephalus sanguineus at a test concentration of 100 ppm.

CLAIMS

1. A compound of formula (I):



(I)

wherein:

R^1 is $(C_1$-$C_8)$-haloalkyl, CN, NO_2 or halogen;

W is N or C-R^4;

R^2 is H, halogen or CH_3;

R^3 is $(C_1$-$C_3)$-haloalkyl, $(C_1$-$C_3)$-haloalkoxy or $S(O)_p$-$(C_1$-$C_3)$-haloalkyl;

R^4 is halogen or CH_3;

A is $(C_2$-$C_6)$-alkylene or $(C_2$-$C_6)$-haloalkylene;

or is $(C_3$-$C_6)$-alkylene in which a carbon in the chain is replaced by O, S, SO, SO_2 or NR^8 with the proviso that the replacing group is not bonded to the adjacent R^5 or carbonyl group; or is

$(C_2$-$C_6)$-alkenylene or $(C_2$-$C_6)$-haloalkenylene; or is

$-[(C_1$-$C_3)$-alkyl$]_r$-aryl-$[(C_1$-$C_3)$-alkyl$]_s$-, or $-[(C_1$-$C_3)$-alkyl$]_r$-heterocyclyl-$[(C_1$-$C_3)$-alkyl$]_s$-, or $-[(C_1$-$C_3)$-alkyl$]_r$-$(C_3$-$C_6)$-cycloalkyl-$[(C_1$-$C_3)$-alkyl$]_s$- or $-[(C_1$-$C_3)$-alkyl$]_r$-$(C_5$-$C_6)$-cycloalkenyl-$[(C_1$-$C_3)$-alkyl$]_s$-, in which last four mentioned groups the aryl, heterocyclyl, cycloalkyl and cycloalkenyl are unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, $(C_1$-$C_6)$-alkyl, $(C_1$-$C_6)$-haloalkyl, $(C_1$-$C_6)$-alkoxy, $(C_1$-$C_6)$-haloalkoxy, OR^{11}, CN, NO_2, $S(O)_pR^{10}$, COR^{10}, $COOR^{10}$, $CONR^9R^{10}$, $SO_2NR^9R^{10}$, NR^9R^{10}, OH, SO_3H and $(C_1$-$C_6)$-alkylideneimino;

R^5 is $CONR^9R^{10}$ or CO_2R^{10} when m is 0 or 1; or R^5 is NR^9R^{17} when m is 1;

R^6 is $(C_1$-$C_3)$-alkyl or $(C_1$-$C_3)$-haloalkyl;

R^7 is H, (C_2-C_6)-alkenyl, (C_2-C_6)-haloalkenyl, (C_2-C_6)-alkynyl, (C_2-C_6)-haloalkynyl, (C_3-C_7)-cycloalkyl, COR^{11}, COR^{12}, COR^{13}, $-CO_2-(C_1-C_6)$-alkyl, $-CO_2-(CH_2)_qR^{11}$, $-CO_2-(CH_2)_qR^{13}$, $-CO_2-(C_3-C_7)$-cycloalkyl, $-CO_2-(C_1-C_6)$-alkyl-(C_3-C_7)-cycloalkyl, $CO-(C_2-C_6)$-alkenyl, $-CH_2R^{11}$ or CH_2R^{13}; or (C_1-C_6)-alkyl unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C_1-C_6)-alkoxy, (C_1-C_6)-haloalkoxy, (C_3-C_7)-cycloalkyl, $S(O)_pR^{14}$, $CO_2-(C_1-C_6)$-alkyl, $-O(C=O)-(C_1-C_6)$-alkyl, NR^9R^{10}, $CONR^9R^{10}$, $SO_2NR^9R^{10}$, OH, CN, NO_2, OR^{11}, OR^{13}, $NR^{10}COR^9$, $NR^{10}SO_2R^{14}$ and COR^{12};

R^8 is R^9, $CO-R^9$, $CO-R^{11}$, CO_2R^{12} or $CO-(C_1-C_8)$-alkyl substituted by amino;

R^9 is H, (C_1-C_6)-alkyl, (C_1-C_6)-haloalkyl, (C_2-C_6)-alkenyl, (C_2-C_6)-haloalkenyl, (C_2-C_6)-alkynyl, (C_2-C_6)-haloalkynyl, (C_3-C_7)-cycloalkyl or $-(C_1-C_6)$-alkyl-(C_3-C_7)-cycloalkyl;

R^{10} is R^9, $-[(C_1-C_6)\text{-alkyl}]_q-R^{11}$, (C_1-C_3)-alkoxy-(C_1-C_3)-alkyl- or (C_1-C_3)-alkoxy-(C_1-C_3)-alkoxy-(C_1-C_3)-alkyl-; or

R^9 and R^{10} or R^9 and R^{17} each together with the respective attached N atom form a four- to seven-membered saturated ring which optionally contains an additional hetero atom in the ring which is selected from O, S and N, the ring being unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C_1-C_6)-alkyl, (C_1-C_6)-haloalkyl and $CO_2-(C_1-C_6)$-alkyl;

R^{11} is phenyl unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C_1-C_6)-alkyl, (C_1-C_6)-haloalkyl, (C_1-C_6)-alkoxy, (C_1-C_6)-haloalkoxy, OR^{16}, CN, NO_2, $S(O)_pR^{12}$, COR^9, COOH, $COOR^{12}$, $CONR^9R^{15}$, $SO_2NR^9R^{15}$, NR^9R^{15}, OH, SO_3H and (C_1-C_8)-alkylideneimino;

R^{12} is (C_1-C_6)-alkyl or (C_1-C_6)-haloalkyl;

R^{13} is heterocyclyl unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C_1-C_4)-alkyl, (C_1-C_4)-haloalkyl, (C_1-C_4)-alkoxy, $S(O)_pR^{12}$, OH and oxo;

R^{14} is (C_1-C_6)-alkyl, (C_1-C_6)-haloalkyl, (C_3-C_7)-cycloalkyl or $-(C_1-C_6)$-alkyl-(C_3-C_7)-cycloalkyl;

R^{15} is H, (C_1-C_6)-alkyl, (C_1-C_6)-haloalkyl, (C_3-C_7)-cycloalkyl or $-(C_1-C_6)$-alkyl-(C_3-C_7)-cycloalkyl;

R^{16} is phenyl unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C_1-C_6)-alkyl, (C_1-C_6)-haloalkyl, (C_1-C_6)-alkoxy, (C_1-C_6)-haloalkoxy, CN, NO_2, $S(O)_pR^{12}$, COR^{15}, COOH, $COOR^{12}$, $CONR^9R^{15}$, $SO_2NR^9R^{15}$, NR^9R^{15} and OH;

R^{17} is R^{10}, $CO_2(C_1-C_6)$-alkyl, $CO_2CH_2R^{18}$ or $CO(C_1-C_6)$-alkyl;

R^{18} is phenyl unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C_1-C_6)-alkyl, (C_1-C_6)-haloalkyl and (C_1-C_6)-alkoxy;

n and p are each independently 0, 1 or 2;

m and q are each independently 0 or 1;

r and s are each independently 0 or 1; and

each heterocyclyl in the above-mentioned radicals is independently a heterocyclic radical having 3 to 7 ring atoms and 1, 2 or 3 hetero atoms in the ring selected from the group consisting of N, O and S;

or a pesticidally acceptable salt thereof.

2. A compound or a salt thereof as claimed in claim 1 wherein R^1 is CN.

3. A compound or a salt thereof as claimed in claim 1 or 2 wherein R^2 is Cl.

4. A compound or a salt thereof as claimed in claim 1, 2 or 3 wherein R^3 is CF_3.

5. A compound or a salt thereof as claimed in any one of claims 1 to 4 wherein A is (C_1-C_6)-alkylene; or is (C_1-C_6)-alkylene in which a carbon in the chain is replaced by O, S, SO, SO_2 or NR^8 with the proviso that the replacing group is not bonded to the adjacent R^5 or carbonyl group; or is phenyl unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C_1-C_4)-alkyl, (C_1-C_4)-haloalkyl, (C_1-C_4)-alkoxy, CN and NO_2; or is pyridyl unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C_1-C_4)-alkyl, (C_1-C_4)-haloalkyl and (C_1-C_4)-alkoxy.

6. A compound or a salt thereof as claimed in any one of claims 1 to 5 wherein R^6 is CF_3.

7. A compound or a salt thereof as claimed in any one of claims 1 to 6 wherein

R^1 is CN;

R^2 is Cl;

R^3 is CF_3;

W is CR^4 and R^4 is Cl;

A is $(C_1$-$C_8)$-alkylene; or is $(C_1$-$C_8)$-alkylene in which a carbon in the chain is replaced by O, S, SO, SO_2 or NR^8 with the proviso that the replacing group is not bonded to the adjacent R^5 or carbonyl group; or is phenyl unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, $(C_1$-$C_2)$-alkyl, $(C_1$-$C_2)$-haloalkyl, $(C_1$-$C_2)$-alkoxy, CN and NO_2; or is pyridyl unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, $(C_1$-$C_2)$-alkyl, $(C_1$-$C_2)$-haloalkyl and $(C_1$-$C_2)$-alkoxy;

R^5 is $CONR^9R^{10}$ or CO_2R^{10} when m is 0 or 1; or R^5 is NR^9R^{17} when m is 1;

R^6 is $(C_1$-$C_2)$-alkyl or $(C_1$-$C_2)$-haloalkyl;

R^7 is hydrogen or $(C_1$-$C_2)$-alkyl;

R^8 is R^9, CO-R^9 or CO-R^{11};

R^9 is H or $(C_1$-$C_6)$-alkyl;

R^{10} is H, $(C_1$-$C_8)$-alkyl, $(C_1$-$C_8)$-haloalkyl, $(C_2$-$C_8)$-alkenyl, $(C_2$-$C_8)$-haloalkenyl, $(C_2$-$C_8)$-alkynyl, $(C_2$-$C_8)$-haloalkynyl, $(C_3$-$C_7)$-cycloalkyl, -$(C_1$-$C_8)$-alkyl-$(C_3$-$C_7)$-cycloalkyl or $-(CH_2)_qR^{11}$; or

R^9 and R^{10} together with the attached N atom form a five- or six-membered saturated ring which optionally contains an additional hetero atom in the ring which is selected from O, S and N, the ring being unsubstituted or substituted by one or more radicals selected from the group consisting of halogen and $(C_1$-$C_2)$-alkyl;

R^{11} is phenyl unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, $(C_1$-$C_2)$-alkyl, $(C_1$-$C_2)$-haloalkyl, $(C_1$-$C_2)$-alkoxy, CN, NO_2, $S(O)_pR^{12}$ and $NR^{15}R^{15}$;

R^{12} is $(C_1$-$C_2)$-alkyl or $(C_1$-$C_2)$-haloalkyl;

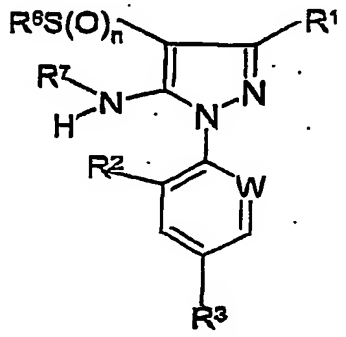
R^{15} is H, $(C_1$-$C_2)$-alkyl or $(C_1$-$C_2)$-haloalkyl;

R^{17} is R^{10}, $CO_2(C_1$-$C_2)$-alkyl, $CO_2CH_2R^{18}$ or $CO(C_1$-$C_2)$-alkyl; and

R^{18} is phenyl unsubstituted or substituted by one or more radicals selected from the group consisting of halogen, (C_1-C_2)-alkyl, (C_1-C_2)-haloalkyl and (C_1-C_2)-alkoxy.

8. A process for the preparation of a compound of formula (I) or a salt thereof as defined in any one of claims 1 to 7, which process comprises:

a) where R^1, R^2, R^3, R^5, R^6, R^7, W, A, m and n are as defined in claim 1, reacting a compound of formula (II):



(II)

wherein R^1, R^2, R^3, R^6, R^7, W and n are as defined in claim 1, with a compound of formula (III):

$$R^5\text{-}(A)_m\text{-}CO\text{-}L$$

(III)

wherein R^5, A and m are as defined in claim 1 and L is a leaving group; or

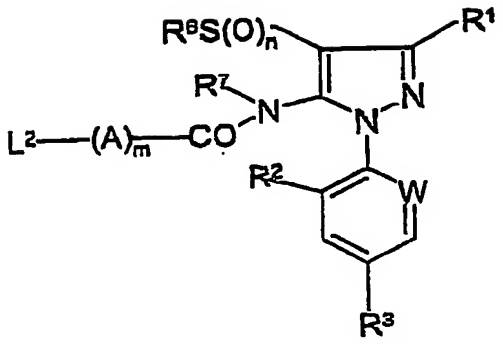
b) where R^1, R^2, R^3, R^5, R^6, W, A, m and n are as defined in claim 1, and R^7 is as defined in claim 1 with the exclusion of hydrogen, the alkylation or acylation of the corresponding compound of formula (I) in which R^7 is hydrogen, with a compound of formula (IV):

$$R^7\text{-}L^1$$

(IV)

wherein R^7 is as defined in claim 1 with the exclusion of hydrogen and L^1 is a leaving group; or

c) where R^1, R^2, R^3, R^6, R^7, W, A and n are as defined in claim 1, R^5 is NR^9R^{10} and m is 1, the nucleophilic substitution of a corresponding compound of formula (V):



(V)

wherein R^1, R^2, R^3, R^5, R^7, A, W and n are as defined in claim 1, m is 1 and L^2 is a leaving group, with a compound of formula (VI):

$$H\text{-}NR^9R^{10}$$

(VI)

wherein R^9 and R^{10} are as defined in claim 1; or

d) where R^1, R^2, R^3, R^5, R^6, R^7, W, A, L^2, m and n are as defined in claim 1, the acylation of a compound of formula (II) with a compound of formula (VII):

$$L^2\text{-}(A)_m\text{-}COCl$$

(VII)

wherein L^2, A and m are as defined in claim 1; or

e) where R^1, R^2, R^3, R^5, R^6, R^7, W, A and m are as defined in claim 1, and n is 1 or 2, oxidising a corresponding compound in which n is 0 or 1; and

f) if desired, converting a resulting compound of formula (I) into a pesticidally acceptable salt thereof.

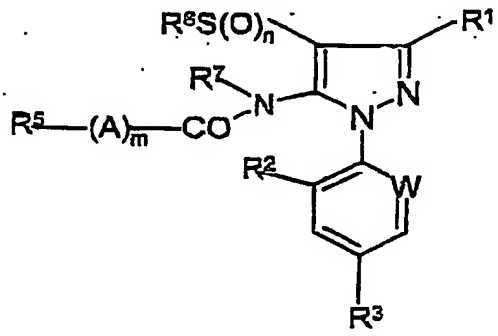
9. A pesticidal composition comprising a compound of formula (I) or a pesticidally acceptable salt thereof as defined in any one of claims 1 to 7, in association with a pesticidally acceptable diluent or carrier and/or surface active agent.

10. The use of a compound of formula (I) or a salt thereof according to any one of claims 1 to 7 or of a composition according to claim 9, for the preparation of a veterinary medicament.

ABSTRACT

Pesticidal Compounds

The invention relates to 5-substituted-acylaminopyrazole derivatives of formula (I) or salts thereof:



(I)

wherein the various symbols are as defined in the description, to processes for their preparation, to compositions thereof, and to their use for the control of pests (insects and parasites) and helminths.

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